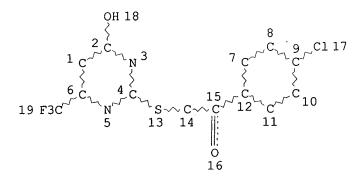


Str. 1 wherein B= CB-C-CB

REP G1=(1-2) CH2 VPA 3-5/6/7/9/10 U NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE



NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

Searcher : Shears

308-4994

REP G1 = (1-2) CH2 VAR G2=C/N VPA 3-19/20/21/23/24 U NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

SSS FUL LL OR L3 OR L4 2469 SEA FILE=REGISTRY

100.0% PROCESSED 371758 ITERATIONS

2469 ANSWERS

SEARCH TIME: 00.00.46

©CAPLOSO ENTERED AT 10:05:09 ON 21 MAR 2002)

L7 935 S L6 OR L6/D

29 S L7 AND (?ATHEROSCLER? OR ?ARTERIOSCLER? OR ARTER?) L8

CAPLUS COPYRIGHT 2002 ACS ANSWER 1 OF 29

2002:142672 CAPLUS ACCESSION NUMBER: TITLE:

Preparation of biphenylcarboxamidoisoindoline

derivatives as apolipoprotein B secretion

inhibitors

Yamada, Harutami; Ando, Akira; Kawanishi, INVENTOR(S):

Hiroyuki; Nagata, Koichi; Yasuhara, Mikiko

Tanabe Seiyaku Co., Ltd., Japan PATENT ASSIGNEE(S):

1

SOURCE:

PCT Int. Appl., 149 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

Japanese LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT	NO.		KI	ND	DATE			A	PPLI	CATI	ON NO	Э.	DATE		
									_							
WO	2002	0142	77	A	1	2002	0221		W	0 20	01-J	P684	4	2001	0809	
	W:	AE,	AG,	AL,	AU,	BA,	BB,	BG,	BR,	BZ,	CA,	CN,	CO,	CR,	CU,	CZ,
		DM,	DZ,	EC,	EE,	GD,	GE,	HR,	HU,	ID,	IL,	IN,	IS,	KR,	LC,	LK,
														SG,		
		TT,	UA,	US,	UZ,	VN,	YU,	ZA,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,
		TM														
	RW:	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,
•														ΝL,		
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,
		TD,	TG													
PRIORITY	APP	LN.	INFO	. :					JP 2	000-	2430	04	A	2000	0810	
									JP 2	001-	1729	18	Α	2001	0607	

GI

The title compds. I [ring A is a substituted or unsubstituted benzene ring; ring B is a substituted or unsubstituted benzene ring; Q is CO or CH2; and R is substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted or unsubstituted or unsubstituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl, or the like], useful as apolipoprotein B secretion inhibitors (no data), are prepd. Processes for the prepn. of I are claimed. For example, 2-(2-pyridyl)acetyl-5-[2-(4-trifluoromethylphenyl)benzoylamino]isoin doline was prepd.

Ι

IT 400726-26-3P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of biphenylcarboxamidoisoindoline derivs. as apolipoprotein B secretion inhibitors)

IT 400727-61-9P 400727-62-0P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of biphenylcarboxamidoisoindoline derivs. as

apolipoprotein B secretion inhibitors)

REFERENCE COUNT: 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE

IN THE RE FORMAT

L8 ANSWER 2 OF 29 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2002:117495 CAPLUS

DOCUMENT NUMBER:

136:161368

TITLE:

Synergy between low-molecular-weight heparin and

platelet aggregation inhibitors, providing a combination therapy for the prevention and treatment of various thromboembolic disorders

INVENTOR(S): Wong, Pancras C.; Mousa, Shaker A.

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Pharma Company, USA

SOURCE:

U.S., 15 pp.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 6346517 B1 20020212 US 2000-523395 20000310

PRIORITY APPLN. INFO.: US 1999-123820P P 19990311

AB A combination therapy is provided which comprises the administration of a low-mol.-wt. heparin (e.g. tinzaparin) and a platelet GPIIb/IIIa antagonist (e.g. roxifiban) for treating, preventing, and reducing the risk of thromboembolic disorders.

IT 149503-79-7, Lefradafiban

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(low-mol.-wt. heparin-platelet aggregation inhibitor synergistic combination for prevention and treatment of thromboembolic

disease)

1.

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE

IN THE RE FORMAT

L8 ANSWER 3 OF 29 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:107318 CAPLUS

DOCUMENT NUMBER: 136:151163

TITLE: Preparation of indazole derivatives as JNK

enzyme inhibitors

INVENTOR(S): Bhagwat, Shripad S.; Satoh, Yoshitaka; Sakata,

Steven T.

PATENT ASSIGNEE(S): Signal Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 412 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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KIND
                              DATE
                                               APPLICATION NO.
                                                                 DATE
     PATENT NO.
                              _____
                              20020207
                                               WO 2001-US23890 20010730
                        Α2
     WO 2002010137
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,
              CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE,
              GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO,
              NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
              TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
              RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH,
              CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
              TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
              TD, TG
                                           US 2000-221799P P 20000731
PRIORITY APPLN. INFO.:
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PRIORITY APPLN. INFO.:

US 2000-221/99P P 20000/31

AB Indazole derivs., 3-R1A-5-R2-1H-indazoles (1), having activity as selective inhibitors of JNK are disclosed. In 1: A is a direct bond, -(CH2)a-, -(CH2)bCH:CH(CH2)c-, or -(CH2)bC.tplbond.C(CH2)c-; R1 is aryl, heteroaryl or heterocycle fused to Ph, each being optionally substituted with 1-4 R3; R2 is -R3, -R4, -(CH2)bC(O)R5, -(CH2)bC(:O)OR5, -(CH2)bC(O)NR5R6, -(CH2)bC(O)NR5(CH2)cC(O)R6, -(CH2)bNR5C(O)R6, -(CH2)bNR5C(O)NR6R7, -(CH2)bNR5R6, -(CH2)bOR5, -(CH2)bSOQR5 or -(CH2)bSOQNR5R6. A is 1-6; b and c are the same or different and are 0-4; d is 0-2. R3 is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, substituted heterocyclealkyl, substituted heterocyclealkyl, colonge,

-SO2NR8R9, -NR8SO2R9, -CN, -NO2, -NR8R9, -NR8C(O)R9, -NR8C(O)(CH2)bOR9, -NR8C(O)(CH2)bR9, -O(CH2)bNR5R9, or heterocycle fused to Ph. R4 is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with 1-4 R3, or R4 is halogen or hydroxy. R5, R6and R7 are the same or different and are H, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R5, R6 and R7 are optionally substituted with 1-4 R3. R8 and R9 are the same or different and at each occurrence independently H, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R8 and R9 taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R8, R9, and R8 and R9 taken together to form a heterocycle are optionally substituted with 1-4 R3 with the proviso that: when A is a direct bond and R1 is Ph, R2 is not Me, methoxy, C(O)CH3 or C(O)H; when A is a direct bond and R1 is 4-Me-Ph, R2 is not Me; when A is a direct bond and R1 is 4-F-Ph, R2 is not trifluoromethyl; when A is a direct bond or -C.tplbond.C- and R1 is Ph, R2 is not -COOEt; and when A is a direct bond and R1 is 6,7-dimethoxyisoquinolin-1-y1, R2 is not hydroxy. Such compds. have utility in the treatment of a wide range of conditions that are responsive to JNK inhibition. Thus, methods of treating such conditions are also disclosed, as are pharmaceutical compns. contg. one or more compds. of the above compds. Many of the claimed compds. have IC50 values .ltoreq.0.5 .mu.M in the JNK2 assay, e.g. 5-[3-(4-fluorophenyl)-1H-indazol-5-yl]-2H-1,2,3,4-tetrazole. Although the methods of prepn. are not claimed, >400 example prepns. are included. 395099-07-7P, N-[2-(Phenylcarbonyl)-4-

ΙT (phenylmethoxy)phenyl]benzamide 395099-09-9P, 2-Amino-5-(phenylmethoxy)phenyl phenyl ketone RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; prepn. of indazole derivs. as JNK enzyme inhibitors)

ANSWER 4 OF 29 CAPLUS COPYRIGHT 2002 ACS

2001:906823 CAPLUS ACCESSION NUMBER:

Clinical trials with glycoprotein IIB/IIIA TITLE:

antagonists no benefit without bleeding?

Doggrell, Sheila A. AUTHOR(S):

Department of Physiology and Pharmacology, The CORPORATE SOURCE:

University of Queensland, Brisbane, 4072,

Australia

Drugs of Today (2001), 37(8), 509-531 CODEN: MDACAP; ISSN: 0025-7656 SOURCE:

PUBLISHER: Prous Science

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

As the glycoprotein GPIIb/IIIa receptor is the final common pathway AB in platelet aggregation, antagonists of this receptor cause a profound inhibition of aggregation induced by any agonist. The short-term efficacy and safety of GPIIb/IIIa antagonists in patients undergoing coronary angioplasty was demonstrated with murine 7E3 Fab, but this antibody was immunogenic. Abciximab is a chimeric human-mouse monoclonal antibody that is less immunogenic. The first major trial with a GPIIb/IIIa antagonist was the EPIC trial with abciximab, which showed that abciximab reduced the ischemic complications of coronary balloon angioplasty and atherectomy in high-risk patients, but increased the risk of bleeding. Subsequent

> 308-4994 Searcher : Shears

studies showed that using less concurrent heparin reduced bleeding. Abciximab also reduced the rate of revascularization. Further studies have shown that the benefits of abciximab extended to all patients undergoing angioplasty (EPILOG), including patients with unstable angina (CAPTURE) and acute myocardial infarction (RAPPORT). Clin. trials with eptifibatide and tirofiban have failed to demonstrate benefit, at the doses used, in angioplasty. Abciximab and eptifibatide, but not oral xemilofiban, improve the safety of the coronary stenting procedure. Short-term i.v. treatment with lamifiban, eptifibatide or tirofiban is beneficial in acute coronary syndromes (unstable angina, non-Q wave myocardial infarction). Orally active GPIIb/IIIa antagonists are being developed for use in acute coronary syndromes and myocardial infarction. However, no benefit has been shown with lefradafiban in acute coronary syndromes and sibrafiban and orbofiban are harmful. Eptifibatide, lamifiban and abciximab improve coronary patency in myocardial infarction, and long- term trials of GPIIb/IIIa antagonists are being conducted in acute myocardial infarction. Abciximab can cause thrombocytopenia, and all the GPIIb/IIIa antagonists increase the incidence of bleeding, but there is no excess of intracranial hemorrhage.

IT **149503-79-7**, Lefradafiban

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(trials with glycoprotein IIB/IIIA antagonists in humans with heart disease and risks of bleeding)

REFERENCE COUNT:

79 THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 29 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2001:833261 CAPLUS

DOCUMENT NUMBER:

135:371762

TITLE:

ŧ.,

Preparation of malonanilic acid derivatives as preventives or remedies for circulatory disease

INVENTOR(S):

preventives or remedies for circulatory disease Shiohara, Hiroaki; Nakamura, Tetsuya; Kikuchi,

Norihiko; Ohnota, Hideki; Koizumi, Takashi;

Kitazawa, Makio

PATENT ASSIGNEE(S):

Kissei Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 118 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent Japanese

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ENT I	NO.		KII	ND I	DATE			A	PPLI	CATI	ои ис	ο.	DATE		
												- -				
WO	2001	0856	70	A.	1 :	2001	1115		M	20	01-J	P349	9	2001	0424	
	W:	ΑĒ,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,
														KR,		
														MX,		
														TM,		
		ΤZ,	UA,	ŪG,	US,	UZ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	ΒY,	KG,	ΚZ,	MD,
			ТJ,													
	RW:													ΑT,		
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,

TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

JP 2000-140743 A 20000512

OTHER SOURCE(S):

MARPAT 135:371762

GΙ

ŧ.

Compds. represented by the general formula (I) or pharmacol. AB acceptable salts thereof [wherein W represents oxygen, sulfur, methylene, CO, SO, or SO2; R represents hydrogen, C1-6 alkyl or aryl-C1-6 alkyl; R1 and R2 represent each C1-3 alkyl, CF3, or halogeno; R3 represents hydrogen, C1-3 alkyl, halogeno, or CF3; Y represents C1-6 alkyl, CF3, 6-oxo-1,6-dihydropyridazin-3-ylmethyl, or -Q-T (wherein Q represents oxygen, methylene, hydroxymethylene, or CO; and T represents optionally substituted aryl or arylmethyl or cycloalkylmethyl optionally contg. O in the ring); and Z represents hydrogen or C1-3 alkoxy or Y and Z are linked together to form tetramethylene] are prepd. Theses compds. I have excellent effects of lowering neutral fat level and non-HDL cholesterol level in the blood, inhibiting or suppressing the accumulation of neutral fat in the liver and protecting or ameliorating the liver function and, therefore, are useful as preventives or remedies for circulatory diseases such as hyperlipemia, arteriosclerosis, fatty liver, and hepatitis. Thus, 4-[3-(4-fluorobenzoyl)-4hydroxyphenoxy]-3,5-dimethylmalonanilic acid Et ester was reduced by NaBH4 in THF at room temp. for 13 h to give 4-[3-[(4fluorophenyl)hydroxymethyl]-4-hydroxyphenoxy]-3,5dimethylmalonanilic acid Et ester which was converted into 4-[3-[(4-fluorophenyl)hydroxymethyl]-4-hydroxyphenoxy]-3,5dimethylmalonanilic acid potassium salt (II). II at 30 nmol/kg twice a day for 2 wk lowered the triglyceride level in liver of male KK-Ay mice from 16.1 (control) to 2.8 mg/1 g liver.

Ι

IT 373642-47-8P 373643-04-0P 373643-14-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of malonanilic acid derivs. lowering neutral fat level and non-HDL cholesterol level in blood as preventives or remedies for circulatory diseases)

REFERENCE COUNT:

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 29 CAPLUS COPYRIGHT 2002 ACS

17

ACCESSION NUMBER:

2001:137681 CAPLUS

DOCUMENT NUMBER:

135:14105

TITLE:

Comparative specificity of platelet .alpha.IIb.beta.3 integrin antagonists Thibault, Gaetan; Tardif, Patrick; Lapalme,

AUTHOR(S):

Genevieve

Laboratoire de biologie cellulaire de CORPORATE SOURCE:

l'hypertension, Institut de recherches cliniques

de Montreal and Universite de Montreal,

Montreal, QC, Can.

Journal of Pharmacology and Experimental SOURCE:

Therapeutics (2001), 296(3), 690-696 CODEN: JPETAB; ISSN: 0022-3565

American Society for Pharmacology and PUBLISHER:

Experimental Therapeutics

DOCUMENT TYPE: Journal English LANGUAGE:

Several platelet .alpha.IIb.beta.3 integrin antagonists have been AΒ designed as preventive agents against the formation of arterial thrombi. Although the potency of these compds. in inhibiting platelet aggregation is in the nanomolar range, their specificity on other integrins that can bind ligands through an arginine-glycine-aspartic acid (RGD) motif is far from being well established. For instance, some cyclic RGD peptides can also interact with .alpha.v.beta.3 integrin. We used a novel pharmacol. assay, based on SDS-stable interaction between 125I-echistatin and RGD-dependent integrins, to evaluate the specificity of several RGD compds. on integrins present on rat cardiac fibroblasts and human skin fibroblasts. None of the RGD peptidomimetics tested (L-734,217, lamifiban, Ro 44-3888, SR 121566A, BIBU-52, XV459) could interact with either .alpha.v.beta.3 and .alpha.8.beta.1 on rat fibroblasts or with .alpha.v.beta.3 and .alpha.v.beta.1 on human fibroblasts. Cyclic RGD peptides showed some potency (3-80 .mu.M) on rat and human integrins with an .alpha.v subunit. We also compared the potency of these compds. on platelets. All RGD compds. demonstrated IC50 between 0.6 and 530 nM on basal human platelets. Activation of the receptor with thrombin resulted in a 2- to 60-fold increase in potency, with L-734,217 and BIBU-52 showing the largest difference. On basal and thrombin-activated rat platelets, only eptifibatide, DMP728, and XJ735 could displace 125I-echistatin (IC50 .apprxeq. 0.1-1.5 .mu.M). These results indicate that RGD peptidomimetics have a specificity limited to .alpha.IIb.beta.3 integrin, whereas cyclic RGD peptides can also interact with other RGD-dependent integrins, particularly those of the .alpha.v subunit family.

148396-36-5, BIBU 52 ΙT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(comparative specificity of platelet .alpha.IIb.beta.3 integrin

antagonists)

37 THERE ARE 37 CITED REFERENCES AVAILABLE REFERENCE COUNT: FOR THIS RECORD. ALL CITATIONS AVAILABLE

IN THE RE FORMAT

ANSWER 7 OF 29 CAPLUS COPYRIGHT 2002 ACS L8

2001:137023 CAPLUS ACCESSION NUMBER:

134:178552 DOCUMENT NUMBER:

3(5)-Acylaminopyrazole derivatives, process for TITLE:

their preparation and their use as antitumor

agents

Pevarello, Paolo; Orsini, Paolo; Traquandi, INVENTOR(S):

Gabriella; Varasi, Mario; Fritzen, Edward L.; Warpehoski, Martha A.; Pierce, Betsy S.; Brasca,

308-4994 Searcher : Shears

Maria Grabriella

PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy; Pharmacia &

Upjohn Company

PCT Int. Appl., 123 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.	KIND	DATE		A	PPLIC	CATIO	N NC	Э.	DATE		
WO 2001	.012189	A1	2001022	- 2	W	0 200	00-US	3669	- - 9	2000	0505	
₩:	AL, AM,	AT, AU	, AZ, BA	, BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
			, DZ, EE									
	IL, IS,	JP, KE	, KG, KP	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,
	MA, MD,	MG, MK	, MN, MW	, MX,	NO,	ΝZ,	PL,	PT,	RO,	RU,	SD,	SE,
	SG, SI,	SK, SL	, TJ, TM	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,
	ZW, AM,	AZ, BY	, KG, KZ	, MD,	RU,	ТJ,	TM					
RW:	GH, GM,	KE, LS	, MW, SD	, SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
	DE, DK,	ES, FI	, FR, GB	, GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,
			, CM, GA									
US 6218	3418	В1	2001041	7	U	s 200	00-66	5760	3	2000	0922	
PRIORITY API	LN. INFO).:			US 1	999-3	37283	31	Α	1999	0812	
					US 2	000-5	6040	00	A1	2000	0428	
OTHER SOURCE	C(S):	MA	RPAT 134	:1785	52							

GΙ

Compds. which are 3-acylaminopyrazole derivs. (I; e.g. AΒ N-(5-cyclopropyl-1H-pyrazol-3-yl)-2,2-diphenylacetamide) wherein R is C3-C6 cycloalkyl group optionally substituted by a straight or branched C1-C6 alkyl or arylalkyl group; R1 is a straight or branched C1-C6 alkyl, C2-C4 alkenyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, arylalkyl, arylcarbonyl, aryloxyalkyl or arylalkenyl group, each of which may be optionally further substituted as indicated in the description; or a pharmaceutically acceptable salt thereof, processes for their prepn. and their therapeutic uses. The compds. are useful for the treatment of cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases or neurodegenerative diseases, but no quant. test results are presented. The cancer is selected from carcinoma, squamous cell carcinoma, hematopoietic tumors of myeloid or lymphoid lineage, tumors of mesenchymal origin, tumors of the central and peripheral nervous system, melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma. The cell proliferative disorder is selected from benign prostate hyperplasia, familial adenomatosis polyposis, neuro-fibromatosis, psoriasis, vascular smooth cell proliferation assocd. with atherosclerosis, pulmonary fibrosis, arthritis glomerulonephritis and post-surgical stenosis and restenosis.

> Shears 308-4994 Searcher :

method of treatment provides tumor angiogenesis and metastasis inhibition, cell cycle inhibition or cdk/cyclin dependent inhibition, and treatment or prevention of radiotherapy-induced or chemotherapy-induced alopecia. A process for prepg. the 3-aminopyrazole deriv. or the pharmaceutically acceptable salt thereof, comprising: (a) reacting RCO2R2 (R2 = alkyl), with MeCN in the presence of a basic agent, to obtain RC(O)CH2CN; (b) reacting RC(O)CH2CN with hydrazine hydrate to obtain an 3-amino-5-R-1Hpyrazole; (c) oxidizing the 3-amino-5-R-1H-pyrazole to obtain the nitro analog; (d) reacting the nitro compd. with tert-butoxycarbonyl anhydride (Boc20) to obtain the N-Boc deriv.; (e) reducing this BOC deriv. to obtain the amino analog; (f) reacting this amino compd. with RIC(O)X (X = OH or a suitable leaving group) to obtain the N1-Boc-protected I; and (g) hydrolyzing this intermediate in an acidic medium to obtain I. Other methods of prepn. are also claimed.

326826-97-5P, 2-[4'-(Benzyloxy)[1,1'-biphenyl]-4-yl]-N-(5-ΤТ cyclopropyl-1H-pyrazol-3-yl)acetamide RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(acylaminopyrazole derivs., process for prepn. and use as antitumor agents)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2002 ACS 2001:137017 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

134:193737

TITLE:

Preparation of heterocyclic amides with amino

acids as cell adhesion inhibitors

INVENTOR(S):

Hagmann, William K.; Delaszlo, Stephen E.;

Doherty, George; Chang, Linda L.; Yang, Ginger

Χ.

PATENT ASSIGNEE(S):

SOURCE:

Merck & Co., Inc., USA PCT Int. Appl., 169 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

P

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	ENT I	ENT NO.			ND	DATE			A.	PPLI	CATI	ON NO	ο.	DATE		
WO	2001															
	W:													ΒZ,		
		CN,	CR,	CU,	CZ,	DΕ,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KR,	ΚZ,	LC,	LK,	LR,
														NO,		
														TT,		
														MD,		
		TM	•													
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,
														SN,		
PRIORITY	APP				•	•	·							1999		

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MARPAT 134:193737
OTHER SOURCE(S):
     Heterocyclic amides R1-Y-CR2-CONR2CR3R4-Z-CO2R5 [CR2 is an
     optionally substituted or aryl-fused 4- to 8-membered monocyclic
     satd. heterocyclic ring having one or two heteroatoms chosen from O,
     S, SO, and SO2; Y is a bond, (un) substituted alkylene, alkenylene,
     or alkynylene; Z is a bond or CR5R6, where R5 is H, alkyl, alkenyl,
     alkynyl, Cy (cycloalkyl, heterocyclyl, aryl, or heteroaryl), or
     Cy-alkyl and R6 = H, alkyl, aryl, hydroxy, NO2, halo, CN, etc.; R1 = H, Cy, OR5, O2CR5, COR5, carboxamido group, etc.; R2, R4 = H, (un) substituted alkyl, alkenyl, or alkynyl; R3 = alkyl, Ar1,
     alkyl-Ar1, Ar1-Ar2, alkyl-Ar1-Ar2, where Ar1 and Ar2 are
     (un) substituted aryl or heteroaryl; R5 = Cy or any group given for
     R2 or R4] were prepd. as antagonists of VLA-4 and/or .alpha.4.beta.7
     and thus are useful in the inhibition or prevention of cell adhesion
     and cell-adhesion mediated pathologies. Thus, N-[(S)-5-
     oxotetrahydro-2-furoyl]-4-(2-cyanophenyl)-L-phenylalanine was prepd.
     by the solid phase method.
     327616-98-8P 327617-01-6P 327617-02-7P
ΙT
     327617-03-8P
     RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL
     (Biological study); PREP (Preparation); USES (Uses)
         (prepn. of heterocyclic amides with amino acids as cell adhesion
         inhibitors)
                                  THERE ARE 5 CITED REFERENCES AVAILABLE FOR
REFERENCE COUNT:
                                  THIS RECORD. ALL CITATIONS AVAILABLE IN
                                  THE RE FORMAT
     ANSWER 9 OF 29 CAPLUS COPYRIGHT 2002 ACS
L8
                            2001:24445 CAPLUS
ACCESSION NUMBER:
                            135:116824
DOCUMENT NUMBER:
                           Safety and preliminary efficacy of one month
TITLE:
                            glycoprotein IIb/IIIa inhibition with
                            lefradafiban in patients with acute coronary
                           syndromes without ST-elevation: A phase II study
                           Akkerhuis, K. M.; Neuhaus, K.-L.; Wilcox, R. G.;
AUTHOR(S):
                           Vahanian, A.; Boland, J.-L.; Hoffmann, J.;
                           Baardman, T.; Nehmiz, G.; Roth, U.; Klootwijk, A. P. J.; Deckers, J. W.; Simoons, M. L.
                           Thoraxcenter, Erasmus University and University
CORPORATE SOURCE:
                           Hospital Rotterdam, Rotterdam, 3000 CC, Neth.
European Heart Journal (2000), 21(24), 2042-2055
SOURCE:
                           CODEN: EHJODF; ISSN: 0195-668X
                           W. B. Saunders Co. Ltd.
PUBLISHER:
DOCUMENT TYPE:
                            Journal
                           English
LANGUAGE:
     Oral glycoprotein IIb/IIIa inhibitors might enhance the early
AB
     benefit of an i.v. agent and prevent subsequent cardiac events in
     patients with acute coronary syndromes. We assessed the safety and
     preliminary efficacy of 1 mo treatment with three dose levels of the
     oral GP IIb/IIIa blocker lefradafiban in patients with unstable
     angina or myocardial infarction without persistent ST elevation.
     The Fibrinogen Receptor Occupancy STudy (FROST) was designed as a dose-escalation trial with 20, 30 and 45 mg lefradafiban t.i.d. or
     placebo. Five hundred and thirty-one patients were randomized in a
     3:1 ratio to lefradafiban or placebo in a double-blind manner.
     Efficacy was assessed by the incidence of death, myocardial
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Searcher: Shears 308-4994

infarction, coronary revascularization and recurrent angina. Safety was evaluated by the occurrence of bleeding classified according to

the TIMI criteria and by measuring clin. lab. parameters. There was a trend towards a redn. in cardiac events with lefradafiban 30 mg when compared with placebo and lefradafiban 20 mg. The benefit was particularly apparent in patients with a pos. (.gtoreq.0.1 ng.bul.ml-1) troponin I test at baseline and less so in those with a neg. test result. In patients receiving lefradafiban, the cardiac event rate decreased with increasing minimal levels of fibrinogen receptor occupancy. There was a dose-dependent increase in the incidence of bleeding: the composite of major or minor bleeding occurred in 1% of placebo patients, 5% of patients receiving lefradafiban 20 mg and in 7% of patients receiving 30 mg, with an excessive risk (15%) in the 45 mg group which resulted in early discontinuation of this dose level. Gingival and arterial or venous puncture site bleedings were most common and accounted for more than 60% of all hemorrhagic events. There was an increased incidence of neutropenia (neutrophils <1.5 .times. 109/1) in the lefradafiban groups (5.2% vs 1.5% in the placebo group), which did not result from bone marrow depression but rather from a reversible redistribution of neutrophils by margination or clustering. One month's treatment with the oral glycoprotein IIb/IIIa inhibitor lefradafiban in patients with unstable angina and myocardial infarction without persistent ST elevation resulted in a decrease in cardiac events with lefradafiban 30 mg and a dose-dependent increase in hemorrhagic events. The obsd. favorable trend towards a redn. in cardiac events in patients with elevated troponin levels requires confirmation in a large clin. trial.

149503-79-7, Lefradafiban

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(safety and preliminary efficacy of one month glycoprotein IIb/IIIa inhibition with lefradafiban in patients with acute coronary syndromes without ST-elevation)

REFERENCE COUNT:

THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 10 OF 29 CAPLUS COPYRIGHT 2002 ACS L8

50

ACCESSION NUMBER:

2000:190929 CAPLUS

DOCUMENT NUMBER:

132:231970

TITLE:

Method for treating atherosclerosis

employing an aP2 inhibitor, and pharmaceutical

combinations with other agents

INVENTOR(S):

Robl, Jeffrey A.; Parker, Rex A.; Biller, Scott A.; Jamil, Haris; Jacobson, Bruce L.; Kodukula,

Krishna

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Co., USA

SOURCE:

PCT Int. Appl., 62 pp.

DOCUMENT TYPE:

CODEN: PIXXD2 Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

KIND DATE APPLICATION NO. DATE PATENT NO. ______ WO 1999-US21069 19990913 20000323 WO 2000015230 A1

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W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
           W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
                                  20000403
                                                     AU 1999-61437
                                                                           19990913
      AU 9961437
                            A1
      BR 9913831
                                   20010529
                                                     BR 1999-13831
                                                                           19990913
                            Α
      EP 1113801
                           A1
                                   20010711
                                                     EP 1999-948210
                                                                          19990913
           R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
                PT, IE, SI, LT, LV, FI, RO
                                                     NO 2001-1352
                                                                           20010316
                                  20010511
      NO 2001001352
                           Α
                                                  US 1998-100677P P
                                                                          19980917
PRIORITY APPLN. INFO.:
                                                  WO 1999-US21069 W
                                                                          19990913
OTHER SOURCE(S):
                              MARPAT 132:231970
      A method is provided for treating atherosclerosis and
      related diseases, employing an aP2 inhibitor or a combination of an
      aP2 inhibitor and another antiatherosclerotic agent, e.g.
      an HMG CoA reductase inhibitor such as pravastatin.
ΙT
      261765-72-4
      RL: BAC (Biological activity or effector, except adverse); BPR
      (Biological process); PRP (Properties); THU (Therapeutic use); BIOL
      (Biological study); PROC (Process); USES (Uses)
          (aP2 inhibitor for treating atherosclerosis, and
          combinations with other agents)
                                      THERE ARE 2 CITED REFERENCES AVAILABLE FOR
REFERENCE COUNT:
                               2
                                       THIS RECORD. ALL CITATIONS AVAILABLE IN
                                      THE RE FORMAT
      ANSWER 11 OF 29 CAPLUS COPYRIGHT 2002 ACS
L8
ACCESSION NUMBER:
                               2000:151479 CAPLUS
DOCUMENT NUMBER:
                               132:194298
                               4-Phenylisoquinolinone derivatives as cGMP
TITLE:
                               phosphodiesterase inhibitors
                               Ukita, Shinzou; Ohmori, Kenji; Ikeo, Tomihiro
INVENTOR(S):
                               Tanabe Seiyaku Co., Ltd., Japan
PATENT ASSIGNEE(S):
                               Jpn. Kokai Tokkyo Koho, 54 pp.
SOURCE:
                               CODEN: JKXXAF
DOCUMENT TYPE:
                               Patent
                               Japanese
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                     APPLICATION NO.
      PATENT NO.
                           KIND DATE
                                                     -----
                                  _____
      _____
      JP 2000072751
                           A2 20000307
                                                     JP 1998-240837
                                                                          19980826
                            MARPAT 132:194298
OTHER SOURCE(S):
GI
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title derivs. I [ring A = Q, Q1 [A1 = (1) OH or (2) lower alkoxy

which is substituted with (carboxy)phenyl, (lower hydroxyalkyl)pyridyl, (lower alkyl)pyrimidinyl, pyrazinyl]; benzene ring B may be substituted; R1 = (1) lower alkyl which may be substituted with (lower alkyl)pyridyl, OH, lower alkoxy, CO2H, lower alkoxycarbonyl, CONH2, (2) Ph which is substituted with lower alkoxy, lower hydroxyalkyl, (un)protected lower aminoalkyl, CO2H, lower alkoxycarbonyl, (3) (un)protected amino, (4) (un)protected lower alkylamino, (5) di(lower alkyl)amino; R2 = CO2R3, CONR4R5; R3 = H, ester residue; NR4R5 = (un) substituted aliph. heterocyclyl] or their pharmacol. acceptable salts are prepd. I inhibit cGMP phosphodiesterase (phosphodiesterase V) (no data) and are useful for prevention and treatment of chronic cardiac failure, angina pectoris, impotence, hypertension, pulmonary hypertension, atherosclerosis, restenosis after PTCA, etc. 7-Benzyloxy-3-hydroxy-4-(3,4,5-trimethoxyphenyl)-3,4dihydroisocoumarin-3-carboxylic acid (prepn. given) was treated with 1,3-dimethyl-2-imidazolidinone, N-methylmorpholine, and H2N(CH2)3OH at 80.degree. for 3 h to give 7-benzyloxy-3-carboxy-2-(3hydroxypropyl)-4-(3,4,5-trimethoxyphenyl)-1(2H)-isoquinolinone. This was dissolved in DMF and the soln. was treated with K2CO3 and MeI at room temp. overnight to give 7-benzyloxy-2-(3-hydroxypropyl)-3-methoxycarbonyl-4-(3,4,5-trimethoxyphenyl)-1(2H)-isoquinolinone. 212500-83-9P 212500-90-8P 212501-19-4P

212501-50-3P 212501-51-4P 212501-55-8P 212501-56-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of phenylisoquinolinones as cGMP phosphodiesterase inhibitors)

ANSWER 12 OF 29 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2000:151451 CAPLUS

DOCUMENT NUMBER:

132:207769

TITLE:

Preparation of isoquinolinones as effective

component in medicine

INVENTOR(S):

Ukita, Shinzo; Ohmori, Kanji; Ikeo, Tomihiro

PATENT ASSIGNEE(S):

Tanabe Seiyaku Co., Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 148 pp.

CODEN: JKXXAF Patent

DOCUMENT TYPE:

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

APPLICATION NO. DATE KIND DATE PATENT NO. _____ ____ 20000307 JP 1998-240446 19980826 JP 2000072675 A2

OTHER SOURCE(S):

MARPAT 132:207769

GI

Shears 308-4994 Searcher

$$\begin{array}{c|c}
0 & R1 \\
R2 & R2
\end{array}$$

Title compds. [I; ring A and ring B equiv. or different, substituted AΒ or unsubstituted benzene ring; R1 = H, N(CH3)2, 4-H2NC6H4, 4-CH3OCOC6H4, alkyl, cycloalkyl, aryl, complex cyclic; R2 = COOH, COOCH3, COOCH2CH3, COOCH2C6H5, COO(CH2)3CH3] and pharmaceutical acceptable salts are prepd. and tested as PDEV inhibitors. title compd. II was prepd.

212500-83-9P 212500-88-4P 212500-89-5P IT 212500-90-8P 212501-19-4P 212501-50-3P 212501-51-4P 212501-52-5P 212501-53-6P 212501-54-7P 212501-55-8P 212501-56-9P 260407-49-6P 260407-50-9P 260407-62-3P 260407-63-4P 260407-64-5P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of isoquinolinones as effective component in medicine)

L8ANSWER 13 OF 29 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

1999:717857 CAPLUS

DOCUMENT NUMBER:

131:310454

ΙI

TITLE:

Preparation of biphenylcarboxamidines as inhibitors of Coagulation Factor Xa.

INVENTOR(S):

Dorsch, Dieter; Juraszyk, Horst; Mederski, Werner; Gante, Joachim; Wurziger, Hanns;

Buchstaller, Hans-Peter

PATENT ASSIGNEE(S):

Merck Patent G.m.b.H., Germany

SOURCE:

Ger. Offen., 10 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

Searcher

Shears 308-4994 FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATI		NO.			ND	DATE				PPLI				DATE		
	1981	9548		A.		1999: 1999:			D	E 19	98-1	9819	548			
WO .						AZ,										CZ,
	** .					FI,										
						KP,										
						MX,										
						TR,										
						MD,										
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	UG,	ZW,	ΑT,	ΒE,	CH,	CY,	DE,
		DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PΤ,	SE,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG		
AU !	9938:	154		A.	l	1999	1123		Α	U 19	99-3	8154		1999	0412	
BR :	9910	021		Α		2000	1226		В	R 19	99-1	0021		1999	0412	
EP :						2001										
	R:					DK,		FR,	GB,	GR,	IT,	LI,	LU,	ΝL,	SE,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO									
NO :	2000	0054	35	Α		2000	1027		N	0 20	00-5	435				
PRIORITY	APP	LN.	INFO	.:										1998		
										999-	EP24	57	W	19990	0412	
OTHER SO	URCE	(S):			MAR	PAT :	131:	3104	54							

GI

$$R^{5}$$
 R^{3}
 R^{4}
 R^{5}

Title compds. [I; R1, R4 = (substituted) C(NH)NH2, NHC(:NH)NH2, AB CON:C(NH2)2, etc.; R2, R3, R5 = H, A, OR6, N(R6)2, NO2, cyano, halo, COR6, alkylcarbonylamino, etc.; R6 = H, A, PhCH2; A = alkyl optionally interrupted by O, S, CR6:CR6], were prepd. for treatment of thrombosis, infarct, arteriosclerosis, inflammation, apoplexy, angina pectoris, restenosis, and intermittent claudication (no data). Thus, 3-bromobenzonitrile, 3-tolylboronic acid, Pd(OAc)2, tri-o-tolylphosphine, Na2CO3, and H2O were stirred at 100.degree. in dimethoxyethane to give 3'-methylbiphenyl-3carbonitrile. This was heated with NBS and AIBN in CCl4 to give 3'-bromomethylbiphenyl-3-carbonitrile (uncharacterized) which was stirred with 3-hydroxybenzonitrile and Cs2CO3 in MeCN to give 3'-(3-cyanophenoxymethyl)biphenyl-3-carbonitrile. The latter was stirred with NH2OH.HCl and polymer-bound dimethylaminopyridine in EtOH to give N-hydroxy-3'-[3-(N-hydroxycarbamimidoyl)phenoxymethyl]b iphenyl-3-carboxamidine. Hydrogenation of the latter in MeOH over Raney Ni gave 3'-(3-carbamimidoylphenoxymethyl)biphenyl-3carboxamidine.

247183-12-6P 247183-14-8P ΙT

> 308-4994 Shears Searcher :

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of biphenylcarboxamidines as inhibitors of Coagulation Factor Xa)

CAPLUS COPYRIGHT 2002 ACS ANSWER 14 OF 29 rs1999:529128 CAPLUS ACCESSION NUMBER:

131:184864 DOCUMENT NUMBER:

Preparation of amidinophenylcarbamoylbiphenyl TITLE: derivatives and heterocyclic analogs thereof as

inhibitors of blood coagulation factor VIIa

Senokuchi, Kazuhiko; Ogawa, Koji INVENTOR(S):

Ono Pharmaceutical Co., Ltd., Japan PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 665 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent Japanese LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P	PATE	NT I	NO.		KI	ND	DATE				APPLI				DATE		
W	10 9	9412	231		A	 L	1999	0819			WO 19				1999	0212	
	,	W:	AL,	ΑM,	ΑT,	AU,	AZ,	BA,	BB,	BG	, BR,	BY,	CA,	CH,	CN,	CU,	CZ,
											, GM,						
											, LT,						
											, SD,						
											, YU,						
				RU,	-	-											
		RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SZ,	UG	, ZW,	ΑT,	BE,	CH,	CY,	DE,	DK,
											, MC,						
											, NE,						
A	U 9	9230									AU 19					0212	
											EP 19						
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB	, GR,	IT,	LI,	LU,	NL,	SE,	MC,
			PT,	IE,	FI												
											ZA 19				1999	0217	
U	JS 6	3589	960		B:	l	2002	0319		i	US 20	00-6	0199	8	2000		
PRIORI	TY.	APP1	LN.	INFO	. :					JP	1998 -	7681	5	Α	1998	0217	
										WO	1999-	JP62	2	W	1999	0212	
OTHER	SOU	RCE	(S):			MAR	PAT	131:	1848	64							

GI

308-4994 Searcher Shears

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The title compds. I [T1 = (R5)q; T2 = (R7)n; T3 = (R6)m; T4 = (R4)p;
AB
     R1, R2 = H, alkoxycarbonyl, etc.; a proviso is given; R3 = H, alkyl,
     etc.; ring E1 = unsatd. heterocyclic ring, etc.; ring E2 = unsatd.
    heterocyclic ring, etc.; ring E3 = unsatd. or satd. heterocyclic
     ring, etc.; ring E3 may be omitted; ring E4 = unsatd. heterocyclic
     ring, etc.; R4, R5 = CO2R8, etc.; R8 = H, alkyl, etc.; p, q = 0, or
     1, 2; p + q = 1 or 2; R6, R7 = H, alkyl, etc.; m = 1 - 3; n = 1 - 3]
     are prepd. I are useful as preventives and/or remedies for various
     vascular lesions assocg. accelerated coagulation activity, for
     example, universal intravascular coagulation syndrome, coronary
     thrombosis, brain infarction, brain embolism, transient cerebral
     ischemic attack, diseases assocg. cerebral vascular disorders, deep
     vein thrombosis, peripheral embolism, thrombus formation following
     artificial blood vessel operation or artificial valve replacement,
     diseases assocg. postoperative thrombus formation, reobstruction and
     reconstriction following coronary artery bypass,
     reobstruction and reconstriction following PTCA or PTCR, thrombus
     formation during extracorporeal circulation and glomerulonephritis.
     Formulations contg. a compd. of this invention are given. In an in
     vitro test, 2-[2-(4-amidinophenylcarbamoyl)-6-methoxy-3-pyridyl]-5-
     [(1(S)-hydroxymethyl-2,2-dimethylpropyl)carbamoyl]benzoic acid
    methanesulfonic acid salt showed IC50 of 0.013 .mu.M against factor
    VIIa.
```

IT 239462-33-0P 239462-35-2P 239462-37-4P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and therapeutic effect of amidinophenylcarbamoylbiphenyl derivs. and heterocyclic analogs thereof)

IT 239462-34-1P 239462-36-3P 239462-38-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of amidinophenylcarbamoylbiphenyl derivs. and heterocyclic analogs thereof as inhibitors of blood coagulation factor VIIa)

IT 239462-92-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of amidinophenylcarbamoylbiphenyl derivs. and heterocyclic analogs thereof as inhibitors of blood coagulation factor VIIa)

REFERENCE COUNT:

5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 29 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

1999:222931 CAPLUS

DOCUMENT NUMBER:

130:237575

TITLE:

Preparation of fused or nonfused benzene

compounds as peroxisome proliferator-activated

receptor (PPAR) controllers

INVENTOR(S):

Tajima, Hisao; Nakayama, Yoshisuke; Fukushima,

Daikichi

PATENT ASSIGNEE(S):

Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

Japanese LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

```
KIND DATE
                                         APPLICATION NO.
    PATENT NO.
                           -----
    _____
                     ____
                                    WO 1998-JP4116 19980911
                          19990401
    WO 9915520
                    A1
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
            DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP,
            KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,
            MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
            TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ,
            MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
            ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
            CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                        AU 1998-90027
                                                       19980911
                     A1 19990412
    AU 9890027
                                      JP 1997-255787
                                                          19970919
PRIORITY APPLN. INFO.:
                                      WO 1998-JP4116
                                                          19980911
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MARPAT 130:237575 OTHER SOURCE(S):

For diagram(s), see printed CA Issue.

Claimed are compds. represented by general formula (I), nontoxic AΒ salts and acid addn. salts of the same, and hydrates of both (wherein R1, R2 = H, C1-8 alkyl, C1-4 alkoxy, halo, NO2, CF3; the benzene-fused ring E = 8- to 11-membered satd. or unsatd. bicyclic carbocyclic ring, 8- to 11-membered satd. or unsatd. bicyclic heterocyclic ring contg. 1-3 of heteroatoms selected form S, O, and N and optionally substituted with oxo or thioxo) and peroxisome proliferator-activated receptor (PPAR) controllers contg. the same as the active ingredient. The compds. I exhibit control effects against PPAR and are therefore useful as antihyperglycemic drugs, antihyperlipidemic drugs, HDL cholesterol-increasing agents, LDL cholesterol- and/or VLDL cholesterol-lowering agents, or risk factor-decreasing agents for diabetes and syndrome X or preventive and/or therapeutic agents for metabolic diseases such as diabetes, obesity, syndrome X, hypercholesterolemia and hyperlipoproteinemia, hyperlipemia, arteriosclerosis, circulatory diseases, polyphagy, and ischemic heart diseases. Thus, a mixt. of 2- and 3-cyano-1,4-benzodioxane isomers (II; Ra = cyano, Rb = H) and II (Ra = H, Rb = cyano) (prepn. given) 3.64, NaN3 3.4, and NH4Cl 2.8 g in 25 mL DMF was stirred at 110.degree. for 30 min to give 5-benzoyl-2and 3-(1H-tetrazol-5-yl)-1,4-benzodioxane isomers II (Ra = 1H-tetrazol-5-yl, Rb = H) and II (Ra = H, Rb = 1H-tetrazol-5yl)(III). III in vitro was 1.2-times more potent than troglitazone for activating transcription of luciferase gene in CV-1 cells expressing human PPAR .gamma.-receptor. III at 100 mg/kg/day p.o. for 14 consecutive days lowered blood lipid (free fatty acids) level from 797.+-.201 mg/dL (control) to 586.+-.111 mg/dL and blood triglyceride level from 79.+-.28 mg/dL (control) to 42.+-.24 mg/dL on day 15 in mice. A tablet and an ampule formulation contg. III were described.

221281-00-1P 221281-01-2P 221281-02-3P IT 221281-03-4P 221281-04-5P

> RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of fused or nonfused benzene compds. as peroxisome proliferator-activated receptor controllers for treatment of

> Shears 308-4994 Searcher :

diseases)

11 THERE ARE 11 CITED REFERENCES AVAILABLE REFERENCE COUNT: FOR THIS RECORD. ALL CITATIONS AVAILABLE

IN THE RE FORMAT

ANSWER 16 OF 29 CAPLUS COPYRIGHT 2002 ACS L8

ACCESSION NUMBER:

1998:721665 CAPLUS

DOCUMENT NUMBER:

129:343328

TITLE:

Preparation of new benzyl- and

(phenylethyl)amine derivatives as medicaments

Anderskewitz, Ralf; Schromm, Kurt; Renth, INVENTOR(S):

Ernst-Otto; Birke, Franz; Jennewein, Hans

Michael; Meade, Christopher John Montague

Boehringer Ingelheim Pharma K.-G., Germany PATENT ASSIGNEE(S): PCT Int. Appl., 29 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	TENT !	NO.		KII	ND.	DATE			A	PPLI	CATI	ON N	0.	DATE		
WO	9849	 131		A	1	1998	1105		W	0 19	98-E	P253	0	1998	0429	
	₩:													KR,		
		LV,	MX,	NO,	ΝZ,	PL,	RO,	RU,	SG,	SI,	SK,	TR,	UA,	US,	UZ,	VN,
		YU	•													
	R₩:	ΑT,	BE,	·CH,	CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,
			PT,													
	1204															
DE	1971	8334		A.	1	1998	1105		D	E 19	97-1	9718	334	1997	3430	
	9803															
AU	9877	600		A.												
EP	9803.													1998		
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,
			ΙE,													
JP	2001	5249	66	T	2	2001	1204							1998		
US	6288	277		В:	l	2001	0911		_	S 20			-	2000		
PRIORIT'	Y APP	LN.	INFO	.:						997-				19970		
										998-	EP25	30	W	1998	0429	
OTHER S	OURCE	(S):			MAR	PAT	129:	3433.	28							

GI

II

$$\begin{array}{c} R^1 \\ R^2 \\ Y \end{array}$$

The title compds. [I; X, Y = O, NH, NMe2, CH2; R1, R2 = H, OH, F, AB Cl, Br, iodo, Cl-6 alkyl, O(Cl-6 alkyl), CF3; R3 = H, NH2, NHCOR5; R4 = H, CH2NH2, CH2NHCOR5; R5 = H, C1-6 alkyl, (un)substituted Ph, O(C1-6 alkyl); A = CR6R7, CO, SOx, O; R6 = H, C1-4 alkyl, CF3, etc.; R7 = H, C1-4 alkyl, etc.; B = C1-6 alkyl, Ph, naphthyl, thienyl, pyridyl, etc.; x = 0-2; with provisos] and their optical isomers, mixts. of enantiomers, racemates and salts with pharmaceutically acceptable acids, LTB4 antagonists useful for the therapy of arthritis, asthma, chronical lung diseases, , psoriasis, cystic fibrosis, Alzheimer's disease, etc., were prepd. For example, dissolving 1.15 g 4-(H2NCH2CH2)C6H4OH in 15 mL MeOH, adding 1.5 g NaOMe (30% soln. in MeOH), evapg. the mixt., adding the residue to a soln. of 2.93 g 3-[4-(2-phenylpropyl)phenoxymethyl]benzyl chloride in 25 mL MeCN, stirring the whole for 3 h at 60-70.degree., evapg. the solvents and treating the residue with alc. HCl gave 1 g II-HCl (m. 145.degree.). Approx. 34 I were prepd. and Ki values for approx. 32 I varying between 0.5 and 263 nM were given.

IT 215612-02-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of new benzyl- and (phenylethyl)amine derivs. as LTD4 antagonists)

CAPLUS COPYRIGHT 2002 ACS $\Gamma8$ ANSWER 17 OF 29

ACCESSION NUMBER:

1998:590737 CAPLUS

DOCUMENT NUMBER:

129:230536

TITLE:

Inhibition of matrix metalloproteases by

substituted phenalkyl compounds

INVENTOR(S):

Wolanin, Donald J. Bayer Corp., USA

PATENT ASSIGNEE(S): SOURCE:

U.S., 22 pp.

DOCUMENT TYPE:

CODEN: USXXAM

Patent -

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

Shears 308-4994 Searcher :

PATENT INFORMATION:

KIND DATE APPLICATION NO. PATENT NO. US 1997-856696 19970515 19980908 US 5804581 Α MARPAT 129:230536

OTHER SOURCE(S):

GΙ

$$\begin{array}{c} \text{CO}_2\text{H} \\ \text{PhCH}_2\text{O} \\ \end{array} \\ \begin{array}{c} \text{CO}_2\text{H} \\ \text{COCH}_2\text{CHCH}_2\text{CH}_2 \\ \end{array} \\ \begin{array}{c} \text{R} \quad \text{II} \end{array}$$

Matrix metalloprotease inhibiting compds., pharmaceutical compns. AΒ thereof and a method of disease treatment using such compds. are presented. The compds., i.e. 2-phenylalkyl-4-(1,1'-biphenyl-4-yl)-3oxobutyric acid, of the invention have the generalized formula [I; T = halo, benzyloxy, C1-5 alkoxy; p = 1,2; n = an integer of 1-5; R24 = morpholinocarbonyl, N-(2-morpholinoethyl)carbamoyl, N-(3-phenylpropyl)carbamoyl, N-(2-phenylethyl)carbamoyl, N-(2-ethoxycarbonylethyl)carbamoyl, N-(ethoxycarbonylmethyl)carbamoy 1, N-(2-carboxyethyl)carbamoyl, etc.]. These compds. are useful for inhibiting matrix metalloproteases and, therefore, combating conditions to which MMP's contribute, such as osteoarthritis, rheumatoid arthritis, septic arthritis, periodontal disease, corneal ulceration, proteinuria, aneurysmal aortic disease, dystrophobic epidermolysis, bullosa, conditions leading to inflammatory responses, osteopenias mediated by MMP activity, tempera mandibular joint disease, demyelating diseases of the nervous system, tumor metastasis or degenerative cartilage loss following traumatic joint injury, and coronary thrombosis from atherosclerotic plaque rupture. The present invention also provides pharmaceutical compns. and methods for treating such conditions. Palladium-mediated carbonylation of 4-(3-iodophenyl)butyric acid deriv. (II; R = iodo) by carbon monoxide and piperidine as the nucleophile in the presence of Pd(OAc)2 and 1,3bis(diphenylphosphino)propane in DMSO gave the title compd. II (piperidine-1-carbonyl), which inhibited MMP-3, MMP-9, and MMP-2 with Ki of 12.5, 102, and 4.44 nM, resp.

199674-85-6P 199674-87-8P ΙT RL: BAC (Biological activity or effector, except adverse); SPN

> 308-4994 Searcher : Shears

(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of phenylalkyl(biphenylyl)oxobutyric acid derivs. as inhibitors of matrix metalloproteases for treating matrix metalloproteases-assocd. diseases) 212613-27-9P 212613-28-0P 212613-29-1P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of phenylalkyl(biphenylyl)oxobutyric acid derivs. as inhibitors of matrix metalloproteases for treating matrix metalloproteases-assocd. diseases)

ANSWER 18 OF 29 CAPLUS COPYRIGHT 2002 ACS

1998:527309 CAPLUS ACCESSION NUMBER:

129:148822 DOCUMENT NUMBER:

Preparation and formulation of TITLE:

aminobenzophenones as inhibitors of interleukin

Ottosen, Erik Rytter; Rachlin, Schneur INVENTOR(S):

Leo Pharmaceutical Products Ltd. A/S (Lovens PATENT ASSIGNEE(S):

Kemiske Fabrik Produktionsaktie, Den.

PCT Int. Appl., 81 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

IT

Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PA	CENT I	NO.				DATE			A	PPLI	CATI	и ис). 	DATE		
	WO	9832	730		A:	1	1998	0730		W	0 19	98-DI	K8		1998	0108	
		W:	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,
			DE.	DK.	EE.	ES.	FI,	GB,	GE,	GH,	GM,	GW,	HU,	ID,	IL,	ΊS,	JP,
															MD,		
			MN.	MW.	MX.	NO.	N7.	PL.	PT.	RO.	RU.	SD,	SE.	SG,	SI,	SK,	SL,
			т.т	тM	TR.	TT.	IIA.	UG.	US.	117.	VN.	YU.	7W.	AM.	ΑZ,	BY.	KG.
				MD,				ΨΟ,	00,	02,	,	,	,	,	,	,	,
		DW.						en.	C 7	IIC	7 W	λ·ሞ	BE	СН	DE,	DK	ES
		RW:															
													SE,	Dr,	ВJ,	CF,	CG,
			CI,	CM,	GA,	GN,	ML,	MK,	ΝE,	SN,	TD,	TG -	4701		1000	0100	
		9854								Α	0 19	98-5	4/81		1998	0108	
		7335															
	EΡ	9664															
		R:	ΑT,	ΒĒ,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,
				ΙE,													
	JΡ	2001	5117	71	· T:	2	2001	0814		J	P 19	98-5	3149	9	1998	0108	
	US	6313	174		В	1	2001	1106		Ū	S 19	99-3	41923	3	1999		
PRTO		Y APP								GB 1	997-	1453		Α	1997	0124	
11110					• •										1998		
OTHE	R 90	OURCE	181.			MAR	PAT	129.									
GI		JORGE	(5).														

The title compds. I [R1 and R2 stand independently for one or more, AB similar or different substituents selected from the group consisting of hydrogen, halogen, hydroxy, mercapto, trifluoromethyl, amino, alkyl, alkoxy, alkylthio, alkylamino, or alkoxycarbonyl, the C-content of which can be from 1 to 5, cyano, carboxy, carbamoyl, Ph, or nitro; R3 stands for hydrogen, halogen, hydroxy, mercapto, trifluoromethyl, amino, alkyl, alkoxy, alkylthio, alkylamino, or alkoxycarbonyl, the C-content of which can be from 1 to 5, Ph, cyano, carboxy, or carbamoyl; R4, R5 and R6 stand independently for hydrogen, trifluoromethyl, alkyl, carbamoyl, alkoxycarbonyl, or alkyloxo, the C-content of which can be from 1 to 5; X stands for oxygen, NOH, NO-alkyl, dialkoxy, cyclic dialkoxy, dialkylthio, or cyclic dialkylthio, the C-content of which can be from 1 to 5] are prepd. The present compds. are of value in the human and veterinary practice as systemic and topical therapeutic agents for the treatment and prophylaxis of asthma, allergy, rheumatoid arthritis, spondyloarthritis, gout, atherosclerosis, chronic inflammatory bowel disease, proliferative and inflammatory skin disorders, such as psoriasis, and atopic dermatitis. In an in vitro test using human polymorphonuclear granulocytes, 4-(2-aminophenylamino)-2-chloro-2'-methylbenzophenone in vitro showed IC50 of 13 nM and 7.1 nM against the prodn. of Il-1.beta. and TNF-.alpha., resp. In the above test, 4-(2aminophenylamino)benzophenone (II) in vitro showed IC50 of 250 nM and 790 nM against the prodn. of Il-1.beta. and TNF-.alpha., resp. In the 12-0-tetradecanoylphorbol-13-acetate induced murine skin inflammation model, II showed activity equal to hydrocortisone.

ΙT 210966-14-6P

> RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aminobenzophenones as inhibitors of interleukin and TNF)

TΤ 210967-30-9

RL: RCT (Reactant)

(prepn. of aminobenzophenones as inhibitors of interleukin and TNF)

ΙT 210966-87-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of aminobenzophenones as inhibitors of interleukin and TNF)

CAPLUS COPYRIGHT 2002 ACS 1.8 ANSWER 19 OF 29 1998:197402 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 128:275085

> Shears 308-4994 Searcher

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Combination therapy for reducing the risks
TITLE:
                         associated with cardiovascular disease
                         Gould, Robert J.; Nichtberger, Steven A.;
INVENTOR(S):
                         Rhymer, Patricia A.; Olofsson, Lars
                         Merck & Co., Inc., USA; Gould, Robert J.;
PATENT ASSIGNEE(S):
                         Nichtberger, Steven A.; Rhymer, Patricia A.;
                         Olofsson, Lars
                         PCT Int. Appl., 40 pp.
SOURCE:
                         CODEN: PIXXD2
                         Patent
DOCUMENT TYPE:
                         English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                           APPLICATION NO. DATE
                      KIND DATE
     PATENT NO.
                           _____
                                           _____
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     _____
                     A1 19980326 WO 1997-US16388 19970915
     WO 9811896
         W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG,
             MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR,
             TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,
             FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, ML, MR, NE, SN, TD, TG
                                           AU 1997-43508
                                                             19970915
     AU 9743508
                       Α1
                            19980414
                            20000824
     AU 723315
                       B2
                                           EP 1997-941644
                                                             19970915
                            19991006
                       A1
     EP 946178
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT,
             IE, FI
                                           JP 1998-514815
                                                             19970915
     JP 2001500875
                       T2
                            20010123
                                                             19970915
                                           US 1997-929595
     US 6251852
                       В1
                            20010626
                                                             19990527
                                           US 1999-147858
                       В1
                            20010522
     US 6235706
                                                             20010118
                                           US 2001-764511
                       A1
                            20011101
     US 2001036913
                                        US 1996-26581P P 19960918
PRIORITY APPLN. INFO.:
                                        GB 1996-21970
                                                         A 19961022
                                        WO 1997-US16388 W 19970915
                                                         A3 19990527
                                        US 1999-147858
     The instant invention involves a combination therapy and
AΒ
     pharmaceutical compns. comprised of a therapeutically effective amt.
     of a cholesterol reducing agent such as an HMG-CoA reductase
     inhibitor in combination with a platelet aggregation inhibitor which
     is useful for inhibiting platelet aggregation, for inhibiting the
     formation of thrombotic occlusions, and for treating, preventing and
     reducing the risk of occurrence of cardiovascular and
     cerebrovascular events and related vaso-occlusive disorders.
     Tablets were prepd. contg. simvastatin and a glycoprotein IIb/IIIa
     receptor antagonist.
     148396-36-5, Fradafiban
IT
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (combination therapy for reducing the risks assocd. with
        cardiovascular disease)
                      CAPLUS COPYRIGHT 2002 ACS
     ANSWER 20 OF 29
                         1998:90330 CAPLUS
ACCESSION NUMBER:
                         128:225922
DOCUMENT NUMBER:
                         Antagonism of the GPIIb/IIIa receptor with the
TITLE:
                         nonpeptidic molecule BIBU52: inhibition of
                         platelet aggregation in vitro and antithrombotic
```

efficacy in vivo

AUTHOR(S): Guth, Brian D.; Seewaldt-Becker, Elke;

Himmelsbach, Frank; Weisenberger, Hans; Muller,

Thomas H.

CORPORATE SOURCE: Dep. Biological and Chemical Res., Dr. Karl

Thomae GmbH, Biberach an der Riss, Germany

J. Cardiovasc. Pharmacol. (1997), 30(2), 261-272

CODEN: JCPCDT; ISSN: 0160-2446

Lippincott-Raven Publishers

PUBLISHER: Lippince
DOCUMENT TYPE: Journal
LANGUAGE: English

SOURCE:

The glycoprotein (GP) IIb/IIIa (the .alpha.IIb.beta.3 integrin) AΒ found on platelets binds fibrinogen or von Willebrand factor when eh platelet is activated, thereby mediating the aggregation of platelets. Blockade of the GPIIb/IIIa should prevent platelet aggregation independent of the substance or substances responsible for activating the platelets. This comprehensive inhibition of platelet aggregation is though to be an effective therapeutic approach ti various clin. thromboembolic syndromes. This study investigated the platelet inhibition provided by blocking GPIIb/IIIa by using a new nonpeptidic mol. BIBU52, in both in vitro and in vivo models. BIBU52 competes with [1251]fibrinogen for binding sites on human platelets in a Ca2+ and pH-dependent manner with a 50% inhibitory concn. (IC50) of 35 .+-. 12 nM. BIBU52 inhibited the aggregation of human platelets in platelet-rich plasma induced by collagen (1-2 .mu.g/mL), ADP (ADP; 2.5 .mu.M), and a thrombin receptor-activating peptide (TRAP; SFLLRNPNDKYEPFNH2; 25 .mu.M) with IC50 values of 82, 83, and 200 nM, resp. The inhibition of platelet aggregation by BIBU52 was found to be highly species dependent. BIBU52 inhibited aggregation in plasma from rhesus and marmoset monkeys with an IC50 of 150 nM but was totally ineffective in rat plasma. The selectivity of BIBU52 for inhibiting GPIIb/IIIa in comparison with other adhesion mols. was investigated in a human endothelial cell adhesion assay. The adhesion of human cells to matrixes of vitronectin, fibronectin, collagen I, or laminin was not affected by concns. as high as 100 .mu.M BIBU52; thus BIBU52 demonstrates a high selectivity for the human GPIIb/IIIa. The antithrombotic effect of BIBU52 in vivo was investigated in three animal models of recurrent arterial thrombus formation. In the guinea pig aorta, BIBU52 inhibited thrombus fromation dose dependently, with lack of thrombus formation for 1 h after a bolus dose of 1.0 mg/kg i.v.. Both acetylsalicylic acid and dazoxiben were less effective in this model. In pigs with recurrent thrombus formation in the carotid artery, 1.0 mg/kg i.v. also inhibited thrombus formation. Heparin was not effective in the pig, and acetylsalicylic acid was only partially effective. In the pig, the dose of 1.0 mg/kg i.v. BIBU52 also was assocd. with a 70% inhibition of collagen-induced platelet aggregation ex vivo but with only a transient prolongation of sublingual bleeding time to a max. of 2.5-fold and without other hemodynamic effects. In the marmoset monkey, a dose of 10 .mu.g/kg i.v. could abolish recurrent arterial thrombosis. Hemodynamic effects of BIBU52 in anesthetized pigs were not detected in doses .ltoreq.10 mg/kg. These data demonstrate that BIBU52 is a potent and selective antagonist of the human GPIIb/IIIa receptor and capable of substantial inhibition of platelet aggregation in vitro and ex vivo as well as inhibition of arterial thrombus formation in vivo in animal models of thrombosis.

IT **158516-54-2**, BIBU52

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (antithrombotic activity of the GPIIb/IIIa receptor antagonist BIBU52)

L8 ANSWER 21 OF 29 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:24951 CAPLUS

DOCUMENT NUMBER: 128:136312

TITLE: Continued thromboxane A2 formation despite

administration of a platelet glycoprotein IIb/IIIa antagonist in patients undergoing

coronary angioplasty

AUTHOR(S): Byrne, Anthony; Moran, Niamh; Maher, Maureen;

Walsh, Noleen; Crean, Peter; Fitzgerald, Desmond

J.

CORPORATE SOURCE: Centre for Cardiovascular Science, Royal College

of Surgeons in Ireland and Beaumont Hospital,

Dublin, 2, Ire.

SOURCE: Arterioscler., Thromb., Vasc. Biol. (1997),

17(11), 3224-3229

CODEN: ATVBFA; ISSN: 1079-5642 American Heart Association

PUBLISHER: America DOCUMENT TYPE: Journal

DOCUMENT TYPE: Journal LANGUAGE: English

Exptl. data suggest that formation of thromboxane A2 may be AΒ suppressed during administration of a glycoprotein IIb/IIIa antagonist. We detd. the dose of one such compd., fradafiban, required to provide >80% occupancy of the platelet glycoprotein IIb/IIIa and examd. its effects on thromboxane A2 formation in patients undergoing PTCA. The dose response to fradafiban and addnl. effects of aspirin were explored initially in patients with stable coronary artery disease. Fradafiban induced a dose-dependent inhibition of platelet aggregation that correlated with fibrinogen receptor occupancy and plasma drug concn. Addn. of aspirin 300 mg had no effect on these parameters. At the highest dose, mean fibrinogen receptor occupancy was 89.7. + -.1.2% (n=3) at 4 h and platelet aggregation had decreased by 93.4.+-.2.7%. Eighteen patients undergoing coronary angioplasty were randomized to receive either aspirin 330 mg or that dose of fradafiban producing >80% fibrinogen receptor occupancy. Platelet aggregation was suppressed throughout the infusion of fradafiban to a greater extent than with aspirin. However, there was a marked increase in urinary excretion of 11-dehydrothromboxane B2 in patients treated with fradafiban: from 1973.+-.889 to a peak of 9760.+-.3509 pg/mg creatinine (P=.0046). Despite this evidence of continued platelet activation in vivo, there were no cases of coronary thrombosis. In conclusion, fradafiban suppresses platelet aggregation and may be a useful alternative to aspirin in the prevention of thrombotic events in patients undergoing PTCA. However, there is continued formation of thromboxane A2, which may continue to exert its effects as a potent vasoconstrictor and vascular smooth muscle mitogen.

IT 148396-36-5, Fradafiban

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(platelet glycoprotein IIb/IIIa antagonist fradafiban suppresses platelet aggregation but not thromboxane A2 formation in humans undergoing coronary angioplasty)

ANSWER 22 OF 29 CAPLUS COPYRIGHT 2002 ACS L8 1997:752921 CAPLUS ACCESSION NUMBER: 128:34585 DOCUMENT NUMBER: Inhibition of matrix metalloproteases by TITLE: substituted phenethyl compounds Wolanin, Donald J. INVENTOR(S): Bayer Corporation, USA; Wolanin, Donald J. PATENT ASSIGNEE(S): PCT Int. Appl., 65 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: APPLICATION NO. DATE PATENT NO. KIND DATE _____ _____ ____ _____ 19970512 19971120 WO 1997-US7919 WO 9743247 A1 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG ZA 1997-4029 19970509 ZA 9704029 19980219 Α AU 1997-29385 19970512 AU 9729385 19971205 Α1 20010104 AU 727899 В2 EP 1997-923621 19970512 Α1 19990414 EP 907632 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI 19990803 BR 1997-9084 19970512 BR 9709084 Α CN 1997-196457 19970512 CN 1225624 19990811 Α JP 11510517 T2 19990914 JP 1997-540979 19970512 US 1996-645026 A2 19960515 PRIORITY APPLN. INFO.: WO 1997-US7919 W 19970512

MARPAT 128:34585

OTHER SOURCE(S):

GΙ

Matrix metalloprotease inhibiting compds., pharmaceutical compns. AB thereof and a method of disease treatment using such compds. are presented. The compds. of the invention have generalized formula I wherein R25 is a substituted phenylethyl moiety. These compds. are useful for inhibiting matrix metalloproteases and, therefore, combating conditions to which MMP's contribute, such as osteoarthritis, rheumatoid arthritis, septic arthritis, periodontal disease, corneal ulceration, proteinuria, aneurysmal aortic disease, dystrophobic epidermolysis bullosa, conditions leading to inflammatory responses, osteopenias mediated by MMP activity, tempero mandibular joint disease, demyelating diseases of the nervous system, tumor metastasis or degenerative cartilage loss following traumatic joint injury, and coronary thrombosis from atherosclerotic plaque rupture. The present invention also provides pharmaceutical compns. and methods for treating such conditions. The title compd. II in vitro showed the Ki value of 127 nM against MMP-3.

IT 199674-85-6P 199674-87-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(inhibition of matrix metalloproteases by substituted phenethyl compds.)

IT 179545-45-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (inhibition of matrix metalloproteases by substituted phenethyl compds.)

L8 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

1997:69419 CAPLUS

DOCUMENT NUMBER:

126:89702

TITLE:

Preparation of sulfate esters of aminosugar derivatives for the inhibition of the migration and proliferation of vascular smooth muscle

ΙI

cells.

INVENTOR(S):

Chucholowski, Alexander; Pech, Michael;

Fingerle, Juergen; Rouge, Marianne; Iberg, Niggi; Schmid, Gerard; Maerki, Hans Peter; Tschopp, Thomas; Mueller, Rita; Wessel, Hans

Peter

PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.

SOURCE: Eur. Pat. Appl., 59 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P.F	TENT NO.	KIND	DATE	Α	PPLICATIO	ON NO.	DATE		
E	741128	A2	19961106	E	P 1996-10	06471	19960	0424	
E	741128		19970326						
E	741128	В1	20010620						
		BE, CH, DE		FI, FR,	GB, GR,	IE, IT	, LI,	LU,	NL,
		SE							
CA	2174583	AA	19961106	C.	A 1996-21	174583	19960	0419	
	08301839	A2	19961119	J	P 1996-10	00874	19960	0423	
	2881752	B2	19990412						
	202339	E	20010715	A	r 1996-10	06471	19960	0424	
	2160190	Т3	20011101	E	s 1996-10	06471	19960	0424	
	5830920	A	19981103	U	S 1996-63	39986	19960	0426	
	1150589	A	19970528	C	N 1996-10	00231	19960	0430	
	Y APPLN. I	NFO.:			995-1310	A			
AB (A	1X1)m1(Y1X	2) n1 (Q1X3) r	n2(Y2X4)n2	2(Z1X5)m	3(Y3X6)n3	3D(Y6X1	2)n6(2	Z2X1:	l)m6(
Y	X10) n5 (Q2X	9) m5 (Y4X8) 1	n4 (A2X7) m4	l, (A1X1) m1 (Y1X2)	n1 (Q1X)	3)m2(!	Y2X4) n2 (Z
12	(5) m3 (Y3X6):	n3W[(Y9X18)n9(Z3X17)	m9(Y8X1	6) n8 (Q3X1	L5)m8(Y	7X14)ı	17 (A	3X13)
m 7][(Y6X12)n	6(Z2X11)m6	(Y5X10)n5((Q2X9)m5	(Y4X8)n4	(A2X7)m	4] n1·	-n9,	
m1	-m9 = 0, 1	X1-X18 =	O, CONR1,	NR1; [R1 = H, a	alkyl; 1	W = P	n or	
s-	triazine r	esidue; Al	-A3 = suga	ar or su	gar acid	residu	e,		
tı	is(hydroxy	methyl)metl	nyl residu	ıe; Y1-Y	9 = arom.	ring	syster	ns; l) =
di	valent sug	ar or suga:	r acid res	sidue; Q	1-Q3, Z1-	-Z3 = D	,		
di	.desoxygluc	opyranosid	e residue;	.gtore	q.1 of Al	L-A3, D	, Q1-(23, 2	Z1-Z3
is	sulfated]	, were prep	od. Thus,	2,3:4,	5-di-0-is	sopropy	liden	e-1,	6-bis-
0-	(4-methylp	nenylsulfo	nyl)galact	citol, M	e (E)-3-	(4-		_	
hy	droxypheny	l)acrylate,	, and $K2CC$)3 were	stirred 1	18 h at	130.0	degr	ee.
to	give 2,3:	4,5-di-O-i	sopropylic	dene-1,6	-bis-0-[(E) - 4 - (1)	2-		
me	thoxycarbo	nylvinyl)pl	nenyl]gala	actitol,	which wa	as conv	erted	to	
1,	6-bis-O-[4	-[2-(2,3,4	,5,6-penta	a-O-sulf	o-D-gluci	Lt-1-			
λ]	carbamoyl)	ethyl]phen	y1]-2,3,4,	5-tetra	-O-sulfog	galacti	COT		ı. 1.
te	tradecylso	dium salt.	The latt	er at 3	mg/kg/n	1.V. 1	n rats	s Wil	cn
	maged left	carotids	gave 4/% 1	nnibiti	on or tis	ssue pr	оттге	ratio	on.
	35511-07-3P							י אמי	
RI	: BAC (Bio	logical ac	civity or	errecto	r, except	DIOI /	se); :	SPN Sign	1
()	Synthetic p	reparation); THU (Tr	ierapeut	ic use);	PIOT (втото	grca.	L
st	udy); PREP	(Preparati	ion); USES	(Uses)	domir	.a for	+ho		
	(prepn. o	f sulfate on of the m	esters of	aminosu	gar ueriv	of wa	cula:	r cm	aath
			igration a	ma bror	TIGIACIO	ı oı va	scura.	r Dill	50011
TM 4/	muscle ce		OD 105511	_02_ED					
IT 18	35511-79-9P	192211-80	-55 T00011	L-03-3P					

185511-97-1P 185514-21-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of sulfate esters of aminosugar derivs. for the inhibition of the migration and proliferation of vascular smooth muscle cells)

L8 ANSWER 24 OF 29 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1995:994196 CAPLUS

DOCUMENT NUMBER: 124:55994

TITLE: Optically active 4,1-benzoxazepine derivatives

useful as squalene synthase inhibitors
INVENTOR(S): Yukimasa, Hidefumi; Tozawa, Ryuichi; Kori,

Masakuni; Kitano, Kazuaki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA!	rent i	NO.	-	KI	ND	DATE			I	PPLI	CATI	ON NO	ο.	DATE		
									-							
WO	9521	834		A	1	1995	0817		V	0 19	95 - J	P148		1995	0206	
	W:	AM,	AU,	BB,	BG,	BR,	BY,	CA,	CN,	CZ,	EE,	FI,	GE,	HU,	KG,	KR,
		KZ,	LK,	LR,	LT,	LV,	MD,	MG,	MN,	MX,	NO,	NΖ,	PL,	RO,	RU,	SI,
						UZ,										
	RW:					ΑT,										
		LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,	MR,
		NE,	SN,	TD,	ΤG)				
AU	9515	898		Α	1	1995	0829		Į	W 19	95-1	5898		1995	0206	
JP	0726	7939		A.	2	1995	1017		Ċ	JP 19	95-1	8972		1995	0207	
BR	9501	469		Α		1997	0819		E	BR 19	95-1	469		1995	0406	
PRIORITY	Y APP	LN.	INFO	. :					JP 1	994-	1553	1		1994	0209	
									WO 1	995-	JP14	8		1995	0206	

OTHER SOURCE(S): MARP.

GI

Optically active 4,1-benzoxazepin-2-one derivs. I with (3R-trans)-configuration are disclosed [wherein R1 = alkyl; X = H or metal ion; ring A is substituted with halo; ring B is substituted with alkoxy]. I are useful for the prophylaxis or treatment of hypercholesteremia or coronary sclerosis in mammals. For example racemic trans-II was amidated with N-Ala-OBu-tert.HCl, and the resultant diastereomeric amides were sepd. by chromatog.,

deprotected, and hydrolyzed in acid and base, to give both the desired isomer (3R,5S)-II (A) and its enantiomer (3S,5R)-II (B). In an assay for inhibition of human hepatic squalene synthase in vitro, isomer A had IC50 of 0.011 .mu.M, vs. 0.020 .mu.M for its 2-chlorophenyl analog [known from EP 567026]. In a rat enzyme system, the IC50 of isomer A was 0.026 .mu.M, whereas isomer B only gave 43% inhibition at 10-5 M.

171768-66-4P TΤ

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (intermediate; prepn. of optically active 4,1-benzoxazepine derivs. as squalene synthase inhibitors)

ANSWER 25 OF 29 CAPLUS COPYRIGHT 2002 ACS 1.8 1995:994147 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER:

TITLE:

124:55567

Preparation of substituted benzene-derivative

endothelin inhibitors

INVENTOR(S):

Astles, Peter Charles; Harper, Mark Francis; Harris, Neil Victor; McLay, Ian McFarlane; Walsh, Roger John Aitchison; Lewis, Richard Alan; Smith, Christopher; Porter, Barry;

McCarthy, Clive

PATENT ASSIGNEE(S):

Rhone-Poulenc Rorer Ltd., UK

SOURCE:

PCT Int. Appl., 197 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

		ENT I			KI	ND	DATE				PPLI). 	DATE		
		9513			A.	 L	1995	0518						9	1994	1114	
		W:	AM,	AT,	ΑU,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	ES,	FI,
			GB,	GE,	ΗU,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LK,	LT,	LU,	LV,	MD,	MG,
			MN,	MW,	NL,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SI,	SK,	ТJ,	TT,
			UA,	US,	UZ,	VN											
		RW:	KE,	MW,	SD,	SZ,	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	IT,
			LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	MR,
				SN,													
	CA	2176	363		. A	\boldsymbol{F}	1995	0518		C	A 19	94-23	1763	63	1994	1114	
	ΑU	9481	498		A.	1	1995	0529		P	U 19	94-83	1498		1994		
	ZA	9409	035		Α		1996	0514		Z	A 19	94-90	035		1994	1114	
	EΡ	72813	28		A.	1	1996	0828		E	P 19	95-90	00842	2	1994	1114	
	EΡ	7281															
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	IT,	LI,	LU,	MC,	ΝL,
			PT,	SE													
	JΡ	0950	5043		T	2	1997	0520		J	P 19	94-5	1370	4	1994		
		1711													1994		
		2123															
	US	6211	234		B.	1	2001	0403		Ü	IS 19	97-64	4092	2	1997		
PRIOR	(TI	APP:	LN.	INFO	. :					GB 1	993-	23382	2	Α	1993		
										GB 1	994-	3363		A	1994	0222	
															1994		
											994-	GB245	99	W	1994	1114	
OTHER	S	DURCE	(S):			MAR	PAT	124:	5556	7							

GI

308-4994 Searcher : Shears

$$R_p^1$$
 R_p^5
 R_n^2
 R_n^3
 R_n^3

The title compds. [I; R1 = H, (un) substituted hydroxyalkyl, carboxyalkyl, CN, NO2, (un) substituted alkoxy, etc.; R2 = arylalkoxy, hetroarylalkoxy, arylalkylthio, etc.; R3 = H0, alkoxy, aryloxy, etc.; R4 = (un) substituted alkyl or alkenyl; R5 = alkyl, alkenyl, halogen; m-p = 0, 1], useful as endothelin inhibitors (no data) for the treatment of diseases modulated by inhibiting endothelin (no data), are prepd. Thus, Me 2-benzyloxy-4-(4-chlorobenzyloxy) benzoic acid, m.p. 150-152.degree., in 44% yield.

IT 170282-55-0P 170282-56-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of substituted benzene endothelin inhibitors)

IT 170281-27-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of substituted benzene endothelin inhibitors)

L8 ANSWER 26 OF 29 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

1995:580486 CAPLUS

DOCUMENT NUMBER:

122:314587

TITLE:

Preparation of thiazepine hypolipidemic and

antiatherosclerotic compounds

INVENTOR(S):

Brieaddy, Lawrence Edward; Hodgson, Gordon

Lewis, Jr.

PATENT ASSIGNEE(S):

Wellcome Foundation Ltd., UK

SOURCE:

PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	ENT :	NO.		KI	ND	DATE			A	PPLI	CATI	ои ис	э.	DATE		
WO	9418	 184		A	1	1994	0818		W	0 19	94-G	в314		1994	0215	
	W:	AT,	ΑU,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	ES,	FI,	GB,
		HU,	JP,	KP,	KR,	ΚZ,	LK,	LU,	LV,	MG,	MN,	MW,	NL,	NO,	ΝŻ,	PL,
		PT,	RO,	RU,	SD,	SE,	SK,	UA,	US,	UZ,	VN					
	RW:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,
		SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	MR,	ΝE,	SN,	TD,	ΤG
ZΑ	9401	003		Α		1995	0814		Z.	A 19	94-1	003		1994	0214	
IL	1086	33		A	1	1998	0715		I	L 19	94-1	0863	3	1994	0214	
CA	2156	183		A	A	1994	0818		C.	A 19	94-2	1561	83	1994	0215	
ΑU	9460	880		Α	1	1994	0829		Α	U 19	94-6	8800		1994	0215	
ΕP	6837	74		A	1	1995	1129		E	P 19	94-9	0633	8	1994	0215	

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL,

PT, SE HU 1995-1818 19940215 HU 71610 A2 19960129 JP 1994-517847 19940215 T2 19960716 JP 08506576 B2 19990426 JP 2886341 19980303 US 1995-501132 19950815 Α US 5723458

PRIORITY APPLN. INFO.: GB 1993-3013 19930215 GB 1993-15155 19930722

WO 1994-GB314 19940215

OTHER SOURCE(S): MARPAT 122:314587

GI

The title compds. [I; R = halogen, CN, OH, NO2, (un) substituted alkyl, (un) substituted alkoxy, aryl, heteroaryl aryloxy, etc.; R1, R6, R7 = H, C1-6 alkyl; R2 = H, (un) substituted alkyl, alkoxy, pyrryl, thienyl, etc.; R3 = H, OH, C1-6 alkyl, alkoxy, acyl; R4, R5 = (un) substituted alkyl, (un) substituted alkenyl, (un) substituted alkynyl, etc.; X = arom. or nonarom. mono- or bicyclic ring; l = 0-4; n = 0-2], useful in reducing bile acid uptake as hypolipidemics and antiatherosclerotics, are prepd. and I-contg. formulations presented. Thus, (.+-.)-trans-1-(3-ethyl-2,3,4,5-tetrahydro-7-methoxy-5-phenyl-1,4-benzothiazepin-3-yl)-4,4,4-trifluoro-(2S)-2-butanol-S,S-dioxide, m.p. 168-170.degree., which was prepd. in 4 steps from 2-(2-phenyl-1,3-dioxolan-2-yl)-4-methoxythiophenol, demonstrated 72% inhibition of bile acid uptake at 1.mu.M.

IT 163445-45-2P 163445-46-3P 163445-47-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of thiazepine bile acid uptake-inhibiting hypolipidemics and antiatherosclerotics)

L8 ANSWER 27 OF 29 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:229456 CAPLUS

DOCUMENT NUMBER: 123:198620

TITLE: Heteroaryl cinnamic acids as inhibitors of

leukotriene biosynthesis

INVENTOR(S): Fortin, Rejean; Girard, Yves; Grimm, Erich;

Hutchinson, John; Scheigetz, John

PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Can.

SOURCE: U.S., 28 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

19941101 Α US 1993-81506 19930623 US 5360815 CA 1994-2125830 19940614 CA 2125830 AA 19941224 US 1993-81506 19930623 PRIORITY APPLN. INFO.: MARPAT 123:198620 OTHER SOURCE(S): GI

Compds. having the formula I wherein: R1 is H, OH, lower alkyl, or AΒ lower alkoxy; R2 is H, lower alkyl or together with R1 forms a double bonded oxygen; R3 is H, lower alkyl, hydroxy lower alkyl, or lower alkoxy lower alkyl; or R1 is joined to R3 to form a carbon bridge of 2 or 3 carbon atoms, or a mono-oxa carbon bridge of 1 or 2 carbon atoms, said bridge optionally containing a double bond; R4 is H or lower alkyl; R5 is H, OH, lower alkyl, or lower alkoxy; R6 is H or lower alkyl, or two R6 groups attached to the same carbon may form a saturated ring of 3 to 8 members; R7 is H, OH, lower alkyl, lower alkoxy, cycloalkyl lower alkoxy, lower alkylthio, or lower alkylcarbonyloxy; R8, R9, and R13 is each independently H, halogen, lower alkyl, hydroxy, lower alkoxy, lower alkylthio, CF3, CN, or COR14; R10 is, e.g., H, lower alkyl, or aryl-(R13)2, wherein aryl is a 5-membered aromatic ring wherein one carbon atom is replaced by O or S and 0-3 carbon atoms are replaced by N; R11, R12 are each, e.g., H, lower alkyl; R14 = H, lower alkyl; X1 = O, S, SO, SO2, CH2; X2 = O, S, CHR6; X3 = e.g., O(CR6)2; Ar = phenylene-R82; m = 1, n = 11, 2; or pharmaceutically acceptable salts are inhibitors of leukotriene biosynthesis (no data). These compds. are useful as anti-asthmatic, anti-allergic, anti-inflammatory, and cytoprotective agents. They are also useful in treating angina, cerebral spasm, glomerular nephritis, hepatitis, endotoxemia, uveitis, and allograft rejection and in preventing the formation of atherosclerotic plaques. Pharmaceutical formulations were given. Thus, e.g., reaction of 7-hydroxycoumarin with 3-[4-(4methoxy)tetrahydropyranyl]benzyl bromide afforded 7-[3-[4-(4-methoxy)tetrahydropyranyl]benzyloxy]coumarin; sapon. of the lactone afforded 3-{4-[3-[4-(4-methoxy)tetrahydropyranyl]benzylo xy]-2-hydroxyphenyl}propenoic acid disodium salt.

IT 167841-12-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (heteroaryl cinnamic acids as inhibitors of leukotriene biosynthesis)

L8 ANSWER 28 OF 29 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1994:298250 CAPLUS

DOCUMENT NUMBER:

120:298250

TITLE:

Preparation of dihydroxybenzylamine derivatives

as drugs.

INVENTOR(S):

Boiziau, Janine; Chen, Huixiong; Garbay, Christiane; Le Pecq, Jean Bernard; Parker,

Fabienne

PATENT ASSIGNEE(S):

Rhone-Poulenc Rorer S.A., Fr.; Institut National

de la Sante et de la Recherche Medicale

SOURCE:

PCT Int. Appl., 62 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				KIND		DATE			APPLICATION NO.					DATE			
WO	9323	364				19931125			WO 1993-FR468								
	W:	AU,	BB,	BG,	BR,	CA,	CZ,	FI,	HU,	JP,	KΡ,	KR,	LK,	MG,	MN,	MW,	
		NO,	ΝZ,	PL,	RO,	RU,	SD,	SK,	UA,	US							
	RW:					DK,											
		SE,				CG,										TG	
FR	FR 2691145								FR 1992-5980 19920518								
AU				A1 19931213													
EP								EP 1993-910121 1993051									
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	ΙΤ,	LI,	LU,	NL,	PT,	
		SE															
JP	JP 07506585			T2		19950720		JP 1993-519944					_	19930514			
ZA	9303	426		Α		1994	0802		\mathbf{Z}_{i}	A 19	93-3	426		1993			
PRIORIT	Y APP	LN.	INFO	.:				I	TR 1	992-	5980			1992			
								V	VO 1	993-	FR46	8		1993	0514		

OTHER SOURCE(S):

MARPAT 120:298250

GI

$$\begin{array}{c|ccccc} \text{OH} & & \text{OH} & & \\ & & \text{OH} & & \\ & & \text{OH} & & \\ & & & \text{OH} & & \\ & & & & \text{CO}_2\text{Et} \\ & & & & & \text{OH} & & \text{II} \\ \end{array}$$

AB Title compds. [I; one of R1, R2 = H, halo, OH, alkoxy, alkylcarbonyloxy, arylcarbonyloxy, SH, alkylthio, amino, formylamino, alkylcarbonylamino, or arylcarbonylamino; the other = alkoxy, alkoxymethyl, acyl, arylcarbonyl, alkyloxycarbonyl, aryloxycarbonyl, alkenyloxycarbonyl, (N-substituted) carbamoyl or thiocarbamoyl], were prepd. I have outstanding tumor prevention activity. Thus, Et 5-aminosalicylate hydrochloride, 2,5-dihydroxybenzaldehyde, and Et3N were stirred in MeOH at 60.degree. for 15 h to give 65% imine, which was hydrogenated over Pd/C to give 62% title compd. II. II inhibited tyrosine kinase in

Searcher :

Shears

308-4994

vivo at 0.4 .mu.M. An injectable formulation contg. II is given.

154737-28-7P 154737-31-2P 154737-32-3P IT

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as intermediate for dihydroxybenzylamine drug)

154737-28-7 IT

RL: RCT (Reactant)

(reaction of, in prepn. of dihydroxybenzylamine drug)

ANSWER 29 OF 29 CAPLUS COPYRIGHT 2002 ACS 1.8 1994:134055 CAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER:

120:134055

TITLE:

Preparation of arylalkananilides as ACAT

inhibitors

INVENTOR(S):

Oe, Takanori; Sano, Mitsuharu; Ikezawa, Ryuhei;

Izumi, Noriyoshi

PATENT ASSIGNEE(S):

Yoshitomi Pharmaceutical Industries, Ltd., Japan

SOURCE:

PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9315043	A 1	19930805	WO 1993-JP35	19930113

W: CA, HU, JP, KR, US

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT,

Ι

SE

PRIORITY APPLN. INFO.:

JP 1992-34270 19920124

OTHER SOURCE(S):

MARPAT 120:134055

GI

$$\begin{array}{c|c}
R^2 & R^6 \\
R^1X & R^7
\end{array}$$

$$\begin{array}{c|c}
R^6 & R^7
\end{array}$$

The title compds. I [X = S, O, CO, etc.; R1 = alkyl, alkenyl,AB alkynyl, etc.; R2,R3 = H, halo, cyano, alkyl, alkoxy, etc.; R4,R5 = H, alkyl, (substituted) cycloalkyl, etc.; R6 - R8 = H, halo, OH,

II

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alkyl, etc.; n = 0-2], useful as ACAT inhibitors for the treatment
     of arteriosclerosis, were prepd. Treatment of
     4-cyclohexylphenylacetic acid with SOC12 in DMF, followed by
     reaction with 2,6-diethylaniline in the presence of
     N-methylmorpholine, gave title compd. II. N-(2,6-diisopropylphenyl)-
     4-octylphenylacetamide in vitro exhibited IC50 of 0.007 .mu.M
     against ACAT (acyl CoA: cholesterol O-acyltransferase). A
     formulation contg. I is given.
     152798-46-4P 152799-00-3P 152799-05-8P
ΙT
     152799-08-1P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of, as ACAT inhibitor)
E2 THROUGH E80 ASSIGNED
     FILE 'REGISTRY' ENTERED AT 10:11:43 ON 21 MAR 2002
             78 SEA FILE=REGISTRY ABB=ON PLU=ON (148396-36-5/BI OR
L9
                149503-79-7/BI OR 154737-28-7/BI OR 199674-85-6/BI OR
                199674-87-8/BI OR 212500-83-9/BI OR 212500-90-8/BI OR
                212501-19-4/BI OR 212501-50-3/BI OR 212501-51-4/BI OR
                212501-55-8/BI OR 212501-56-9/BI OR 152798-46-4/BI OR
                152799-00-3/BI OR 152799-05-8/BI OR 152799-08-1/BI OR
                154737-31-2/BI OR 154737-32-3/BI OR 158516-54-2/BI OR
                163445-45-2/BI OR 163445-46-3/BI OR 163445-47-4/BI OR
                167841-12-5/BI OR 170281-27-3/BI OR 170282-55-0/BI OR
                170282-56-1/BI OR 171768-66-4/BI OR 179545-45-0/BI OR
                185511-07-3/BI OR 185511-79-9/BI OR 185511-80-2/BI OR
                185511-83-5/BI OR 185511-97-1/BI OR 185514-21-0/BI OR
                210966-14-6/BI OR 210966-87-3/BI OR 210967-30-9/BI OR
                212500-88-4/BI OR 212500-89-5/BI OR 212501-52-5/BI OR
                212501-53-6/BI OR 212501-54-7/BI OR 212613-27-9/BI OR
                212613-28-0/BI OR 212613-29-1/BI OR 215612-02-5/BI OR
                221281-00-1/BI OR 221281-01-2/BI OR 221281-02-3/BI OR
                221281-03-4/BI OR 221281-04-5/BI OR 239462-33-0/BI OR
                239462-34-1/BI OR 239462-35-2/BI OR 239462-36-3/BI OR
               239462-37-4/BI OR 239462-38-5/BI OR 239462-92-1/BI OR
               ₱247183-12-6/BI OR 247183-14-8/BI OR 260407-49-6/BI OR
              1 260407-50-9/BI OR 260407-62-3/BI OR 260407-63-4/BI OR
                260407-64-5/BI OR 261765-72-4/BI OR 326826-97-5/BI OR
                327616-98-8/BI OR 327617-01-6/BI OR 327617-02-7/BI OR
                327617-03-8/BI OR 373642-47-8/BI OR 373643-04-0/BI OR
                373643-14-2/BI OR 395099-07-7/BI OR 395099-09-9/BI OR
                400726-26-3/BI OR 400727-61-9/BI OR 400727-62-0/BI)
=> d 1,4,6,8,9,12-15,20,22,29,34,35,38,46,50,51,53,55,56,61-63,65-67,70,73,76-78
ide can
     ANSWER 1 OF 78 REGISTRY COPYRIGHT 2002 ACS
L9
     400727-62-0 REGISTRY
RN
     [1,1'-Biphenyl]-2-carboxylic acid, 4'-[(dimethylamino)carbonyl]-6-
CN
     (phenylmethoxy) - (9CI) (CA INDEX NAME)
FS
     3D CONCORD
MF
     C23 H21 N O4
SR
     CA
                  CAPLUS
LC
     STN Files:
```

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L9 ANSWER 4 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN **395099-09-9** REGISTRY

CN Methanone, [2-amino-5-(phenylmethoxy)phenyl]phenyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 2-Amino-5-(phenylmethoxy)phenyl phenyl ketone

FS 3D CONCORD

MF C20 H17 N O2

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

$$NH_2$$
 $C-Ph$

$$Ph-CH_2-O$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:151163

L9 ANSWER 6 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN 373643-14-2 REGISTRY

CN Propanoic acid, 3-[[3,5-dimethyl-4-[3-(1-methylethyl)-4-(phenylmethoxy)benzoyl]phenyl]amino]-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C30 H33 N O5

SR CA

LC STN Files: CA, CAPLUS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 135:371762

L9 ANSWER 8 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN 373642-47-8 REGISTRY

CN Methanone, [4-[bis(phenylmethyl)amino]-2,6-dimethylphenyl][3-(1-methylethyl)-4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C39 H39 N O2

SR CA

LC STN Files: CA, CAPLUS

$$\begin{array}{c|c} \text{Ph-CH}_2-\text{O} & \text{Me} \\ \hline \\ \text{O} & \text{Me} \\ \hline \\ \text{N-CH}_2-\text{Ph} \\ \hline \\ \text{CH}_2-\text{Ph} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 135:371762

L9 ANSWER 9 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN 327617-03-8 REGISTRY

CN [1,1'-Biphenyl]-4-propanoic acid, 2'-(cyclohexylmethoxy)-6'-methoxy-.alpha.-[[[(2R)-tetrahydro-2-methyl-2-furanyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C29 H37 N O6

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:193737

L9 ANSWER 12 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN **327616-98-8** REGISTRY

CN [1,1'-Biphenyl]-4-propanoic acid, 2'-(phenylmethoxy)-.alpha.-[[[(2R)-tetrahydro-2-methyl-2-furanyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C28 H29 N O5

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:193737

L9 ANSWER 13 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN **326826-97-5** REGISTRY

CN [1,1'-Biphenyl]-4-acetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-4'- (phenylmethoxy)- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 2-[4'-(Benzyloxy)[1,1'-biphenyl]-4-yl]-N-(5-cyclopropyl-1H-pyrazol-3-yl)acetamide

FS 3D CONCORD

MF C27 H25 N3 O2

SR CA

i.

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:178552

L9 ANSWER 14 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN **261765-72-4** REGISTRY

CN 4(1H)-Pyrimidinone, 2-[[2-(4-chlorophenyl)-2-oxoethyl]thio]-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C13 H8 C1 F3 N2 O2 S

SR CA

LC STN Files: CA, CAPLUS, CHEMCATS

$$\begin{array}{c|c} \text{C1} & \text{O} & \text{H} \\ \text{C} & \text{CH}_2 - \text{S} & \text{N} \\ \text{O} & \text{O} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)

2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:231970

2: 132:231969 REFERENCE

ANSWER 15 OF 78 REGISTRY COPYRIGHT 2002 ACS L9

260407-64-5 REGISTRY RN

Methanone, (4-bromo-3,5-dimethoxyphenyl)[2-(dimethoxymethyl)-4-CN (phenylmethoxy)phenyl] - (9CI) (CA INDEX NAME)

3D CONCORD FS

C25 H25 Br O6 MF

SR CA

STN Files: CA, CAPLUS LC

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)

2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

1: 135:76771 REFERENCE

132:207769 REFERENCE 2:

L9 ANSWER 20 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN **247183-14-8** REGISTRY

[1,1'-Biphenyl]-4-carboximidamide, 4'-[[3-CN

(aminoiminomethyl)phenyl]methoxy]-, diacetate (9CI) (CA INDEX NAME)

C21 H20 N4 O . 2 C2 H4 O2 MF

SR CA

CA, CAPLUS LC STN Files:

> CM1

CRN 247183-13-7

CMF C21 H20 N4 O

$$\begin{array}{c} C-NH_2 \\ H_2N-C \\ NH \end{array}$$

CM 2

CRN 64-19-7 CMF C2 H4 O2

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2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:252156

REFERENCE 2: 131:310454

L9 ANSWER 22 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN 239462-92-1 REGISTRY

CN [1,1'-Biphenyl]-2-carboxylic acid, 2'-[(4-cyanophenyl)methoxy]-4[[[(1S)-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,2dimethylpropyl]amino]carbonyl]-4'-methyl-, phenylmethyl ester (9CI)
(CA INDEX NAME)

FS STEREOSEARCH

MF C42 H50 N2 O5 Si

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 131:184864

L9 ANSWER 29 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN 221281-04-5 REGISTRY

CN Methanone, (4-methoxyphenyl)[5-methyl-2-(1H-tetrazol-5-ylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C17 H16 N4 O3

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

$$\begin{array}{c|c} N & CH_2-O \\ N-N & O-C \\ MeO & Me \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:237575

L9 ANSWER 34 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN 215612-02-5 REGISTRY

CN Methanone, [3-[[4-(aminomethyl)phenoxy]methyl]phenyl]methoxy]phenyl]phenyl-, hydrochloride (9CI) (CA INDEX NAME)

MF C28 H25 N O3 . C1 H

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

$$\begin{array}{c|c} O \\ \hline \\ C-Ph \end{array}$$

HC1

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:343328

L9 ANSWER 35 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN 212613-29-1 REGISTRY

CN [1,1'-Biphenyl]-4-butanoic acid, .alpha.-[2-(2-iodophenyl)ethyl]-.gamma.-oxo-4'-(phenylmethoxy)- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C31 H27 I O4

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:230536

L9 ANSWER 38 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN **212501-56-9** REGISTRY

CN Benzoic acid, 2-(4-chloro-3,5-dimethoxybenzoyl)-5-(phenylmethoxy)-(9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C23 H19 Cl O6

SR CA

LC STN Files: CA, CAPLUS

$$\begin{array}{c|c} \text{OMe} & \text{OMe} \\ \hline \text{CO}_2\text{H} & \text{O} \\ \hline \text{O} & \text{O} \\ \hline \text{Ph-} & \text{CH}_2\text{--} \\ \hline \text{O} & \text{OMe} \\ \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1967 TO DATE)

3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:207769

REFERENCE 2: 132:194298

REFERENCE 3: 1-29:216521

L9 ANSWER 46 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN 212500-90-8 REGISTRY

CN Benzoic acid, 5-(phenylmethoxy)-2-(3,4,5-trimethoxybenzoyl)- (9CI)

(CA INDEX NAME)

FS 3D CONCORD

MF C24 H22 O7

SR CA

LC STN Files: CA, CAPLUS

$$\begin{array}{c|c} \text{OMe} \\ \text{OO2H} \\ \text{O} \\ \text{OMe} \\ \text{OMe} \\ \\ \\ \text{OMe} \\$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1967 TO DATE)

5 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 135:357948

REFERENCE 2: 135:76771

REFERENCE 3: 132:207769

REFERENCE 4: 132:194298

REFERENCE 5: 129:216521

L9 ANSWER 50 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN 210967-30-9 REGISTRY

CN Methanone, (4-aminophenyl)[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C20 H17 N O2

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

$$\begin{array}{c|c} H_2N & O-CH_2-Ph \\ \hline \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:148822

L9 ANSWER 51 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN 210966-87-3 REGISTRY

FS 3D CONCORD

MF C26 H20 N2 O4

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:148822

L9 ANSWER 53 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN 199674-87-8 REGISTRY

CN [1,1'-Biphenyl]-4-butanoic acid, .gamma.-oxo-4'-(phenylmethoxy).alpha.-[2-[2-(1-piperidinylcarbonyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C37 H37 N O5

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:230536

REFERENCE 2: 128:34585

L9 ANSWER 55 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN 185514-21-0 REGISTRY

CN .alpha.-D-Glucopyranoside, 6,6'-[[2,3:4,5-bis-O-(1-methylethylidene)galactitol-1,6-di-O-yl]bis([1,1'-biphenyl]-4,4'-diylcarbonylimino)]bis[phenylmethyl 2,6-dideoxy-2-[[3-nitro-4-[(2,3,4,5,6-penta-O-acetyl-1-deoxy-D-glucitol-1-yl)amino]benzoyl]amino]-, 3,3',4,4'-tetraacetate (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C118 H134 N8 O46

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PAGE 1-B

PAGE 1-C

PAGE 2-B

II O

PAGE 2-C

OAc

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:89702

L9 ANSWER 56 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN **185511-97-1** REGISTRY

CN D-Glucitol, 1,1'-[[(4R,5R)-2,2-dimethyl-1,3-dioxolane-4,5-diyl]bis(methyleneoxy[1,1'-biphenyl]-4,4'-diylcarbonylimino)]bis[1-deoxy-(9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C45 H56 N2 O16

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:89702

L9 ANSWER 61 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN **179545-45-0** REGISTRY

CN [1,1'-Biphenyl]-4-butanoic acid, .alpha.-[2-(3-iodophenyl)ethyl].gamma.-oxo-4'-(phenylmethoxy)- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C31 H27 I O4

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1967 TO DATE)

3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:161412

REFERENCE 2: 128:34585

REFERENCE 3: 125:142275

L9 ANSWER 62 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN 171768-66-4 REGISTRY

CN Methanone, (2-amino-5-chlorophenyl)[2-methoxy-4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C21 H18 C1 N O3

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)

2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 128:230397

REFERENCE 2: 124:55994

L9 ANSWER 63 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN **170282-56-1** REGISTRY

CN Methanone, [2-hydroxy-4-(3-pyridinylmethoxy)phenyl]phenyl- (9CI) (CA INDEX NAME) .

FS 3D CONCORD

MF C19 H15 N O3

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 124:55567

L9 ANSWER 65 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN 170281-27-3 REGISTRY

CN Benzenebutanoic acid, .gamma.-[2-benzoyl-5-(3-pyridinylmethoxy)phenoxy]-2-methyl-, (R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C30 H27 N O5

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 124:55567

L9 ANSWER 66 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN 167841-12-5 REGISTRY

CN Methanone, [2-hydroxy-4-[[3-(tetrahydro-4-hydroxy-2H-pyran-4-yl)phenyl]methoxy]phenyl]phenyl- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C25 H24 O5

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

$$OH$$
 CH_2-O
 OH
 $C-Ph$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:285781

REFERENCE 2: 123:198620

L9 ANSWER 67 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN 163445-47-4 REGISTRY

CN Methanone, [2-[(2-amino-2-ethylhexyl)sulfonyl]phenyl][4(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

MF C28 H33 N O4 S

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 122:314587

L9 ANSWER 70 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN **154737-32-3** REGISTRY

CN Methanone, [5-[[(2,5-dihydroxyphenyl)methylene]amino]-2-(phenylmethoxy)phenyl]phenyl- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C27 H21 N O4

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)

2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 124:306500

REFERENCE 2: 120:298250

L9 ANSWER 73 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN **152799-08-1** REGISTRY

CN Benzeneacetamide, N-[2,6-bis(1-methylethyl)phenyl]-3-[5-fluoro-2-(phenylmethoxy)benzoyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C34 H34 F N O3

SR CA

LC STN Files: CA, CAPLUS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 120:134055

L9 ANSWER 76 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN 152798-46-4 REGISTRY

CN Benzeneacetamide, N-(2,6-diethylphenyl)-3-[4-(phenylmethoxy)benzoyl](9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C32 H31 N O3

SR CA

LC STN Files: CA, CAPLUS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 120:134055

L9 ANSWER 77 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN 149503-79-7 REGISTRY

CN 3-Pyrrolidineacetic acid, 5-[[[4'-[imino[(methoxycarbonyl)amino]meth yl][1,1'-biphenyl]-4-yl]oxy]methyl]-2-oxo-, methyl ester, (3S,5S)-(9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 3-Pyrrolidineacetic acid, 5-[[[4'-[imino[(methoxycarbonyl)amino]meth yl][1,1'-biphenyl]-4-yl]oxy]methyl]-2-oxo-, methyl ester, (3S-trans)-

OTHER NAMES:

CN Lefradafiban

FS STEREOSEARCH

MF C23 H25 N3 O6

SR CA

LC STN Files: ADISNEWS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, DDFU, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, IPA, MEDLINE, PHAR, PROMT, SYNTHLINE, TOXCENTER, USAN, USPATFULL Other Sources: WHO

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

12 REFERENCES IN FILE CA (1967 TO DATE)
13 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:161368

REFERENCE 2: 135:116824

REFERENCE 3: 134:13054

REFERENCE 4: 133:232833

REFERENCE 5: 133:171670

REFERENCE 6: 131:280937

REFERENCE 7: 131:266821

REFERENCE 8: 131:153339

REFERENCE 9: 131:18012

REFERENCE 10: 127:243027

L9 ANSWER 78 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN **148396-36-5** REGISTRY

CN 3-Pyrrolidineacetic acid, 5-[[[4'-(aminoiminomethyl)[1,1'-biphenyl]-4-yl]oxy]methyl]-2-oxo-, (3S,5S)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 3-Pyrrolidineacetic acid, 5-[[[4'-(aminoiminomethyl)[1,1'-biphenyl]-4-yl]oxy]methyl]-2-oxo-, (3S-trans)-

OTHER NAMES:

CN BIBU 52

CN Fradafiban

FS STEREOSEARCH

DR **158516-54-2**

MF C20 H21 N3 O4

SR CA

LC STN Files: ADISINSIGHT, ADISNEWS, BIOSIS, BIOTECHNO, CA, CAPLUS, DDFU, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, IPA, MEDLINE, PHAR, PROMT, SYNTHLINE, TOXCENTER, USAN, USPATFULL Other Sources: WHO

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

12 REFERENCES IN FILE CA (1967 TO DATE)
12 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 135:14105

REFERENCE 2: 131:280937

REFERENCE 3: 131:266821

REFERENCE 4: 131:153339

REFERENCE 5: 131:18012

REFERENCE 6: 130:90255

REFERENCE 7: 128:275085

REFERENCE 8: 128:136312

REFERENCE 9: 127:287677

REFERENCE 10: 127:243027

L11

CACLD' ENTERED AT 10:15:27 ON 21 MAR 2002 L10 0 S L9

(FILE OBPATFULL' ENTERED AT 10:15:39 ON 21 MAR 2002)

27 S L9

L12 26 S L11 AND (?ATHEROSCLER? OR ?ARTERIOSCLER? OR ARTER?)

L12 ANSWER 1 OF 26 USPATFULL

INVENTOR(S):

ACCESSION NUMBER: 2002:29372 USPATFULL

TITLE: Synergy between low molecular weight heparin and

platelet aggregation inhibitors, providing a combination therapy for the prevention and treatment of various thromboembolic disorders Wong, Pancras C., Wilmington, DE, United States

Mousa, Shaker A., Lincoln University, PA, United

States

PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, Princeton,

NJ, United States (U.S. corporation)

NUMBER DATE

PRIORITY INFORMATION: US 1999-123820P 19990311 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Henley, III, Raymond

LEGAL REPRESENTATIVE: Black, Robert W., Wilk-Orescan, Rosemarie,

Fuzail, Kalim S.

NUMBER OF CLAIMS: 16 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 5 Drawing Figure(s); 5 Drawing Page(s)

LINE COUNT: 847

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention is directed to a combination therapy comprising the

administration of a low molecular weight heparin such as

tinzaparin and a platelet GPIIb/IIIa antagonist such as roxifiban for treating, preventing and reducing the risk of thromboembolic

disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 2 OF 26 USPATFULL

ACCESSION NUMBER: 2001:197071 USPATFULL

TITLE: Aminobenzophenones as inhibitors of interleukin

and TNF

INVENTOR(S): Ottosen, Erik Rytter, .O slashed.lstykke, Denmark

Rachlin, Schneur, Melby, Denmark

PATENT ASSIGNEE(S): Leo Pharmaceutical Products Ltd.A/S/ (L.o

slashed.vens kemiske Fabrik

Produktionsaktieselskab), Ballerup, Denmark

(non-U.S. corporation)

NUMBER KIND DATE US 6313174 В1 20011106 PATENT INFORMATION: WO 9832730 19980730 19990721 APPLICATION INFO.: US 1999-341923 (9) WO 1998-DK8 19980108 19990721 PCT 371 date 19990721 PCT 102(e) date

NUMBER DATE

PRIORITY INFORMATION: GB 1997-1453 19970124

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Killos,

PRIMARY EXAMINER: Killos, Paul J.
LEGAL REPRESENTATIVE: Pillsbury Winthrop LLP

LEGAL REPRESENTATIVE: Pills
NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1
LINE COUNT: 2166

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The compounds of the present invention are represented by general formula (I) in which formula R.sub.1 and R.sub.2 stand independently for one or more, similar or different substituents selected from the group consisting of hydrogen, halogen, hydroxy, mercapto, trifluoromethyl, amino, alkyl, alkoxy, alkylthio, alkylamino, or alkoxycarbonyl, the C-content of which can be from 1 to 5, cyano, carboxy, carbamoyl, phenyl, or nitro; R.sub.3 stands for hydrogen, halogen, hydroxy, mercapto, trifluoromethyl, amino, alkyl, alkoxy, alkylthio, alkylamino, or alkoxycarbonyl, the C-content of which can be from 1 to 5, phenyl, cyano, carboxy, or carbamoyl; R.sub.4, R.sub.5 and R.sub.6 stand independently for hydrogen, trifluoromethyl, alkyl, carbamoyl, alkoxycarbonyl, or alkyloxo, the C-content of which can be from 1 to 5; X stands for oxygen, N--OH, N--O-alkyl, dialkoxy, cyclic dialkoxy, dialkylthio, or cyclic dialkylthio, the C-content of which can be from 1 to 5. The present compounds are of value in the human and veterinary practice as systemic and topical therapeutic agents for the treatment and prophylaxis of asthma, allergy, rheumatoid arthritis, spondyloarthritis, gout, atherosclerosis, chronic inflammatory bowel disease, proliferative and inflammatory skin disorders, such as psoriasis, and atopic dermatitis. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 3 OF 26 USPATFULL

ACCESSION NUMBER: 2001:194398 USPATFULL

TITLE: Combination therapy for reducing the risks

associated with cardiovascular disease

INVENTOR(S): Gould, Robert J., Green Lane, PA, United States Nichtberger, Steven A., Gladwyne, PA, United

States

Rhymer, Patricia A., Martinsville, NJ, United

States

Olofsson, Lars, Akersberga, Sweden

RELATED APPLN. INFO.: Division of Ser. No. US 1999-147858, filed on 27 May 1999, GRANTED, Pat. No. US 6235706 A 371 of International Ser. No. WO 1997-US16388, filed on

15 Sep 1997, UNKNOWN

NUMBER DATE

PRIORITY INFORMATION: US 1996-26581P 19960918 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

CAROL S. QUAGLIATO, Merck & Co., Inc., P.O. Box

2000, 126 East Lincoln Avenue, Rahway, NJ,

07065-0907

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

43 1

LINE COUNT:

1063

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The instant invention involves a combination therapy and pharmaceutical compositions comprised of a therapeutically effective amount of a cholesterol reducing agent such as an HMG-CoA reductase inhibitor in combination with a platelet aggregation inhibitor which is useful for inhibiting platelet aggregation, for inhibiting the formation of thrombotic

occlusions, and for treating, preventing and reducing the risk of occurrence of cardiovascular and cerebrovascular events and

related vaso-occlusive disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 4 OF 26 USPATFULL

ACCESSION NUMBER:

2001:153165 USPATFULL

TITLE:

Benzylamine and phenylethylamine derivatives,

processes for preparing the same and their use as

medicaments

INVENTOR(S):

Anderskewitz, Ralf, Bingen, Germany, Federal

Republic of

Schromm, Kurt, Ingelheim, Germany, Federal

Republic of

Renth, Ernst-Otto, Kiel, Germany, Federal

Republic of

Birke, Franz, Ingelheim, Germany, Federal

Republic of

Jennewein, Hans Michael, Wiesbaden, Germany,

Federal Republic of

Meade, Christopher John Montague, Bingen,

Germany, Federal Republic of

PATENT ASSIGNEE(S):

Boehringer Ingelheim Pharma KG, Ingelheim,

Germany, Federal Republic of (non-U.S.

corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6288277	B1	20010911	
	WO 9849131		19981105	
APPLICATION INFO.:	US 2000-423160		20000403	(9)
	WO 1998-EP2530		19981105	
			20000403	PCT 371 date
			20000403	PCT 102(e) date

NUMBER						DATE													
	_	_	_	_	_	_	_	_	_	_	_	_	_	_	_	_	_	_	

PRIORITY INFORMATION:

19970430 DE 1997-19718334

DOCUMENT TYPE: FILE SEGMENT:

Utility GRANTED

PRIMARY EXAMINER:

Barts, Samuel

LEGAL REPRESENTATIVE:

Raymond, R. P., Witkowski, T. X., Devlin, M-E M.

308-4994 Shears Searcher :

12 NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 375 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to new phenylamine derivatives, processes for preparing them and their use as pharmaceutical compositions. The phenylamines according to the invention correspond to the general formula I ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 5 OF 26 USPATFULL

2001:97876 USPATFULL ACCESSION NUMBER:

Combination therapy for reducing the risks TITLE:

associated with cardiovascular disease

Gould, Robert J., Green Lane, PA, United States INVENTOR(S):

Nichtberger, Steven A., New Rochelle, NY, United

States

Rhymer, Patricia A., Martinsville, NJ, United

States

Olofsson, Lars, .ANG.kersberga, Sweden

Merck & Co., Inc., Rahway, NJ, United States PATENT ASSIGNEE(S):

(U.S. corporation)

NUMBER KIND DATE ______ US 6251852 B1 20010626 US 1997-929595 19970915 PATENT INFORMATION: 19970915 (8) APPLICATION INFO.:

NUMBER DATE ______

US 1996-26581P 19960918 (60) PRIORITY INFORMATION:

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Davenport, Avis M:

LEGAL REPRESENTATIVE: Quagliato, Carol S., Winokur, Melvin

NUMBER OF CLAIMS: 42 EXEMPLARY CLAIM: 1 1022 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The instant invention involves a combination therapy and pharmaceutical compositions comprised of a therapeutically effective amount of a cholesterol reducing agent such as an HMG-CoA reductase inhibitor in combination with a platelet aggregation inhibitor which is useful for inhibiting platelet aggregation, for inhibiting the formation of thrombotic occlusions, and for treating, preventing and reducing the risk of occurrence of cardiovascular and cerebrovascular events and related vaso-occlusive disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 6 OF 26 USPATFULL

2001:75359 USPATFULL ACCESSION NUMBER:

Combination therapy for reducing the risks TITLE:

associated with cardiovascular disease

Gould, Robert J., Green Lane, PA, United States INVENTOR(S):

Nichtberger, Steven A., Gladwyne, PA, United

States

Rhymer, Patricia A., Martinsville, NJ, United

States

Olofsson, Lars, Akersberga, Sweden

PATENT ASSIGNEE(S): Merck & Co., Inc., Rahway, NJ, United States

(U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6235706 WO 9811896	B1	20010522 19980326	
APPLICATION INFO.:	US 1999-147858 WO 1997-US16388		19990527 19970915 19990527	(9) PCT 371 date
			19990527	PCT 102(e) dat

NUMBER DATE

PRIORITY INFORMATION:

US 1996-26581P 19960918 (60)

DOCUMENT TYPE:

Utility

,

FILE SEGMENT:

Granted

PRIMARY EXAMINER:

Henley, III, Raymond

LEGAL REPRESENTATIVE:

Quagliato, Carol S., Winokur, Melvin

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

1

LINE COUNT:

1023

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention involves a combination therapy of administering a cholesterol reducing agent, such as a 3-hydroxy-3-methylglutaryl coenzyme a (HMG-CoA) reductase inhibitor and a platelet aggregation inhibitor for treating, preventing or reducing the risk of developing cardiovascular and cerebrovascular events and disorders in a mammal.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 7 OF 26 USPATFULL

ACCESSION NUMBER:

2001:55994 USPATFULL

TITLE:

3(5)-amino-pyrazole derivatives, process for their preparation and their use as antitumor

agents

INVENTOR(S):

Pevarello, Paolo, Pavia, Italy Orsini, Paolo, Varese, Italy Traquandi, Gabriella, Milan, Italy

Varasi, Mario, Milan, Italy

Fritzen, Edward L., Portage, MI, United States Warpehoski, Martha A., Portage, MI, United States Pierce, Betsy S., Kalamazoo, MI, United States

Brasca, Maria Gabriella, Cusago, Italy

PATENT ASSIGNEE(S):

Pharmacia & Upjohn S.p.A, Milan, Italy (non-U.S.

corporation)

Pharmacia & Upjohn Co., Kalamazoo, MI, United

States (U.S. corporation)

		NUMBER	KIND	DATE	
PATENT INFORMATION:	US	6218418	B1	20010417	
APPLICATION INFO.:	US	2000-667603		20000922	(9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2000-560400, filed on

28 Apr 2000 Continuation of Ser. No. US

1999-372831, filed on 12 Aug 1999

DOCUMENT TYPE: FILE SEGMENT: Utility Granted

PRIMARY EXAMINER:

Ramsuer, Robert W.

LEGAL REPRESENTATIVE:

Oblon, Spivak, McClelland, Maier & Neustadt, P.C.

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 25 1

LINE COUNT:

1304

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds which are 3-amino-pyrazole derivatives represented by

formula (I): ##STR1##

where

R is a C.sub.3 -C.sub.6 cycloalkyl group, which may optionally be substituted by a straight or branched C.sub.1 -C.sub.6 alkyl group, and

R.sub.1 is a straight or branched C.sub.1 -C.sub.6 alkyl group or a C.sub.2 -C.sub.4 alkenyl, cycloalkyl, aryl, arylalkyl, arylcarbonyl, aryloxyalkyl and arylalkenyl, which may be optionally substituted; or a pharmaceutically acceptable salt thereof.

The compounds are useful for the treatment of cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases or neurodegenerative diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 8 OF 26 USPATFULL

ACCESSION NUMBER:

2001:48107 USPATFULL

TITLE:

Substituted phenyl compounds

INVENTOR(S):

Astles, Peter Charles, Dagenham, United Kingdom Harper, Mark Francis, Dagenham, United Kingdom Harris, Neil Victor, Dagenham, United Kingdom McLay, Iain McFarlane, Dagenham, United Kingdom Walsh, Roger John Aitchison, Dagenham, United

Kingdom

Lewis, Richard Alan, Dagenham, United Kingdom Smith, Christopher, Dagenham, United Kingdom Porter, Barry, Dagenham, United Kingdom McCarthy, Clive, Dagenham, United Kingdom

PATENT ASSIGNEE(S):

Rhone-Poulenc Rorer Limited, Eastbourne, United

Kingdom (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION		B1	20010403	
	WO 9513262		19950518	
APPLICATION INFO.:	US 1997-640922		19970627	(8)
	WO 1994-GB2499		19941114	
				PCT 371 date
			19970627	PCT 102(e) date

NUMBER DATE

PRIORITY INFORMATION: GB 1993-23382 19931112

GB 1994-3363 19940222

GB 1994-10750 19940527

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Shah, Mukund J. ASSISTANT EXAMINER: Rao, Deepak R. LEGAL REPRESENTATIVE: Ort, Ronald G.

NUMBER OF CLAIMS: 20 EXEMPLARY CLAIM: 1 LINE COUNT: 6267

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB ##STR1##

Compounds of formula (I) are described wherein R.sup.1 is hydrogen, -(lower alkyl).sub.q (CO.sub.2 R.sup.6 or OH), --CN, --C(R.sup.7).dbd.NOR.sup.8, NO.sub.2, --O(lower alkyl)R.sup.9, --C.tbd.C--R.sup.10, --CR.sup.11.dbd.C(R.sup.12)(R.sup.13), --C(.dbd.O)CH.sub.2 C(.dbd.O)CO.sub.2 H, --CO(R.sup.14), alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, thiocarbamoyl, substituted carbamoyl, substituted thiocarbamoyl, sulphamoyl or an optionally substituted nitrogen-containing ring, m, n, o and p are independently zero or 1 and R.sup.2, R.sup.3, R.sup.4 and R.sup.5 are various groups; and physiologically acceptable salts, N-oxides and prodrugs thereof. The compounds have endothelin antagonist activity and are useful as pharmaceuticals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 9 OF 26 USPATFULL

ACCESSION NUMBER: 2000:174708 USPATFULL

TITLE: Substituted 5-biarylpentanoic acids and

derivatives as matrix metalloprotease inhibitors INVENTOR(S): Kluender, Harold Clinton Eugene, Trumbull, CT,

United States

Benz, Guenter Hans Heinz Herbert, Velbert,

Germany, Federal Republic of

Brittelli, David Ross, Branford, CT, United

States

Bullock, William Harrison, Hamden, CT, United

States

Combs, Kerry Jeanne, Wallingford, CT, United

States

Dixon, Brian Richard, Woodbridge, CT, United

States

Schneider, Stephan, Wuppertal, Germany, Federal

Republic of

Wood, Jill Elizabeth, Hamden, CT, United States VanZandt, Michael Christopher, New Haven, CT,

United States

Wolanin, Donald John, Orange, CT, United States Wilhelm, Scott M., Orange, CT, United States Bayer Corporation, Pittsburgh, PA, United States

PATENT ASSIGNEE(S): Bayer Corporation, (U.S. corporation)

NUMBER KIND DATE

0000100

PATENT INFORMATION: US 6166082 20001226 APPLICATION INFO.: US 1998-57679 19980409 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1995-539409, filed on

6 Nov 1995, now patented, Pat. No. US 5789434 which is a continuation-in-part of Ser. No. US 1994-339846, filed on 15 Nov 1994, now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Lambkin, Deborah C.

NUMBER OF CLAIMS: 18 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 3 Drawing Figure(s); 3 Drawing Page(s)

LINE COUNT: 6861

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Inhibitors for matrix metalloproteases, pharmaceutical compositions containing them, and a process for using them to treat a variety of physiological conditions. The compounds of the invention have the generalized formula

(T).sub.x A--B--D--E--G

wherein A and B are aryl or heteroaryl rings; each T is a substituent group; x is 0, 1, or 2; the group D represents ##STR1## the group E represents a three carbon chain bearing one to three substituent groups which are independent or are involved in ring formation, possible structures being shown in the text and claims; and the group G represents --M, ##STR2## in which M represents --CO.sub.2 H, --CON(R.sup.11).sub.2, or --CO.sub.2 R.sup.12; and

R.sup.13 represents any of the side chains of the 19 noncyclic naturally occurring amino acids, and include pharmaceutically acceptable salts thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 10 OF 26 USPATFULL

ACCESSION NUMBER: 1999:37157 USPATFULL

TITLE: Substituted 4-biarylbutyric acid derivatives as

matrix metalloprotease inhibitors

INVENTOR(S): Kluender, Harold Clinton Eugene, Trumbull, CT,

United States

Dixon, Brian Richard, Woodbridge, CT, United

States

VanZandt, Michael Christopher, Guilford, CT,

United States

Wilhelm, Scott McClelland, Orange, CT, United

States

Wolanin, Donald John, Orange, CT, United States Bullock, William Harrison, West Haven, CT, United

States

PATENT ASSIGNEE(S): Bayer Corporation, Pittsburgh, PA, United States

(U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 5886043 19990323

APPLICATION INFO.: US 1997-866778 19970530 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1995-463490, filed on

5 Jun 1995, now abandoned which is a continuation of Ser. No. US 1994-339846, filed on 15 Nov 1994,

now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Lambkin, Deborah C.

NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
LINE COUNT: 7435

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Inhibitors for matrix metalloproteases, pharmaceutical compositions containing them, and a process for using them to treat a variety of physiological conditions. The compounds of the invention have the generalized formula ##STR1## wherein each T is a substituent group; x is 0, 1, or 2; the group D represents ##STR2## the group R6 represents variety of possible substituent groups on the carbon chain between D and G, and the group G represents M, ##STR3## in which M represents --CO.sub.2 H, --CON(R.sup.11).sub.2, or --CO.sub.2 R.sup.12, and R.sup.13 represents any of the side chains of the 19 noncyclic naturally occurring amino acids.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 11 OF 26 USPATFULL

ACCESSION NUMBER: 1999:37138 USPATFULL

TITLE: Thiophene-containing butonic acid derivatives as

matrix metalloprotease inhibitors

INVENTOR(S): Kluender, Harold Clinton Eugene, Trumbull, CT,

United States

Benz, Guenter Hans Herbert Heinz, Velbert,

Germany, Federal Republic of

Bullock, William Harrison, West Haven, CT, United

States

PATENT ASSIGNEE(S): Bayer Corporation, Pittsburgh, PA, United States

(U.S. corporation)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1995-463794, filed on

5 Jun 1995, now abandoned which is a continuation of Ser. No. US 1994-339846, filed on 15 Nov 1994,

now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Lambkin, Deborah C.

NUMBER OF CLAIMS: 14
EXEMPLARY CLAIM: 1
LINE COUNT: 7455

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Inhibitors for matrix metalloproteases, pharmaceutical compositions containing them, and a process for using them to treat a variety of physiological conditions. The compounds of the invention have the generalized formula ##STR1## wherein each T is

a substituent group; x is 0, 1, or 2; the group D represents ##STR2## the group R6 represents a variety of possible substituent groups on the carbon chain between D and G, and the group G represents M, ##STR3## in which M represents --CO.sub.2 H, --CON(R.sup.11).sub.2, or --CO.sub.2 R.sup.12; and R.sup.13 represents any of the side chains of the 19 noncyclic naturally occurring amino acids.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 12 OF 26 USPATFULL

ACCESSION NUMBER: 1999:24691 USPATFULL

TITLE: Substituted cycloalkanecarboxylic acid

derivatives as matrix metalloprotease inhibitors INVENTOR(S): Kluender, Harold Clinton Eugene, Trumbull, CT,

United States

Benz, Guenter Hans Herbert Heinz, Velbert,

Germany, Federal Republic of

Combs, Kerry Jeanne, Wallingford, CT, United

States

Dixon, Brian Richard, Woodbridge, CT, United

States

VanZandt, Michael Christopher, Guilford, CT,

United States

Wilhelm, Scott McClelland, Orange, CT, United

States

Wolanin, Donald John, Orange, CT, United States Wood, Jill Elizabeth, Hamden, CT, United States Schneider, Stephan, Wuppertal, Germany, Federal

Republic of

PATENT ASSIGNEE(S): Bayer Corporation, Pittsburgh, PA, United States

(U.S. corporation)

NUMBER KIND DATE
US 5874473 19990223

PATENT INFORMATION: APPLICATION INFO.: RELATED APPLN. INFO.:

US 1997-864666 19970528 (8) Continuation of Ser. No. US 1995-462729, filed on

5 Jun 1995, now abandoned which is a continuation of Ser. No. US 1994-339846, filed on 15 Nov 1994,

now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Lambkin, Deborah C.

NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
LINE COUNT: 7277

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Inhibitors for matrix metalloproteases, pharmaceutical compositions containing them, and a process for using them to treat a variety of physiological conditions. The compounds of the invention have the generalized formula ##STR1## wherein each T is a substituent group; x is 0, 1, or 2; the group D represents ##STR2## the subscript "e" is 2 or 3; the group R.sup.14 represents a variety of possible substituent groups on the cycloalkyl ring between D and G, and the group G represents M, ##STR3## in which M represents --CO.sub.2 H, --CON(R.sup.11).sub.2, or --CO.sub.2 R.sup.12; and R.sup.13

represents any of the side chains of the 19 noncyclic naturally occurring amino acids.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 13 OF 26 USPATFULL

1999:7415 USPATFULL ACCESSION NUMBER:

Substituted 4-biarylbutyric acid derivatives as TITLE:

matrix metalloprotease inhibitors

Kluender, Harold Clinton Eugene, Trumbull, CT, INVENTOR(S):

United States

Dixon, Brian Richard, Woodbridge, CT, United

States

VanZandt, Michael Christopher, Guilford, CT,

United States

Wilhelm, Scott McClelland, Orange, CT, United

Wolanin, Donald John, Orange, CT, United States Wood, Jill Elizabeth, Hamden, CT, United States Bayer Corporation, Pittsburgh, PA, United States

PATENT ASSIGNEE(S): (U.S. corporation)

> NUMBER KIND DATE

PATENT INFORMATION: US 5861428 19990119 19970530 (8) APPLICATION INFO.: US 1997-866680

Continuation of Ser. No. US 1995-464253, filed on RELATED APPLN. INFO.:

5 Jun 1995, now abandoned which is a continuation of Ser. No. US 1994-339846, filed on 15 Nov 1994,

now abandoned

DOCUMENT TYPE: Utility

Granted

FILE SEGMENT: PRIMARY EXAMINER:

Lambkin, Deborah C.

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

LINE COUNT: 7545

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Inhibitors for matrix metalloproteases, pharmaueutical compositions containing them, and a process for using them to treat a variety of physiological conditions. The compounds of the invention have the generalized formula ##STR1## wherein each Tis a substituent group; x is 0, 1, or 2; the group D represents ##STR2## the group R6 reresents a variety of possible substituent groups on the carbon chain between D and G, and the group G represents M, ##STR3## in which M represents --CO.sub.2 H, --CON(R.sup.11).sub.2, or --CO.sub.2 R.sup.12, and R.sup.13 represents any of the side chains of the 19 noncyclic naturally occurring amino acids.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 14 OF 26 USPATFULL

1999:7414 USPATFULL ACCESSION NUMBER:

Substituted 4-biarylbutyric acid derivatives as TITLE:

matrix metalloprotease inhibitors

Kluender, Harold Clinton Eugene, 27 Academy Rd., INVENTOR(S):

Trumbull, CT, United States 06611 Benz, Guenter Hans Herbert Heinz, Am

Bolkumer-Busch 5, D-42553 Velbert, Germany,

Federal Republic of

Brittelli, David Ross, 240 Stony Creek Rd.,

Branford, CT, United States 06405 Dixon, Brian Richard, 1220 Johnson Rd., Woodbridge, CT, United States 06525

VanZandt, Michael Christopher, 56 Barker Hill Dr., Guiliford, CT, United States 06437 Wilhelm, Scott McClelland, 255 Midland Dr.,

Orange, CT, United States 06477

Wolanin, Donald John, 320 Longmeadow Rd., Orange,

CT, United States 06477

NUMBER KIND DATE

PATENT INFORMATION: APPLICATION INFO.:

US 5861427 19990119 US 1997-866679 19970530 (8)

RELATED APPLN. INFO.:

Continuation of Ser. No. US 1995-465626, filed on 5 Jun 1995, now abandoned which is a continuation of Ser. No. US 1994-339846, filed on 15 Nov 1994,

now abandoned

DOCUMENT TYPE:

Utility Granted

FILE SEGMENT: PRIMARY EXAMINER:

Lambkin, Deborah C.

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

16 1

LINE COUNT:

7549

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Inhibitors for matrix metalloproteases, pharmaceutical compositions containing them, and a process for using them treat a variety of physiological conditions. The compounds of the invention have the generalized formula ##STR1## wherein each T is a substituent group; x is 0, 1, or 2; the group D represents ##STR2## the group R6 represents a variety of possible substituent groups on the carbon chain between D and G, and the group G represents M, ##STR3## in which M represents --CO.sub.2 H, --CON(R.sup.11).sub.2, or --CO.sub.2 R.sup.12; and R.sup.13

represents any of the side chains of the 19 noncyclic naturally occurring amino acids.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 15 OF 26 USPATFULL

ACCESSION NUMBER: 1999:4704 USPATFULL

TITLE:

Substituted 4-biarylbutyric acid derivatives as

matrix metalloprotease inhibitors

INVENTOR(S):

Kluender, Harold Clinton Eugene, Trumbull, CT,

United States

Brittelli, David Ross, Branford, CT, United

States

Bullock, William Harrison, West Haven, CT, United

States

Combs, Kerry Jeanne, Wallingford, CT, United

States

Dixon, Brian Richard, Woodbridge, CT, United

States

VanZandt, Michael Christopher, Guilford, CT,

United States

Wilhelm, Scott McClelland, Orange, CT, United

States

Wolanin, Donald John, Orange, CT, United States Bayer Corporation, Pittsburgh, PA, United States

PATENT ASSIGNEE(S): Bayer Corporation, (U.S. corporation)

NUMBER KIND DATE

NUMBER KIND DATE

PATENT INFORMATION: US 5859047 19990112 APPLICATION INFO.: US 1997-866798 19970530 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1995-464253, filed on

5 Jun 1995, now abandoned which is a continuation of Ser. No. US 1994-339846, filed on 15 Nov 1994,

now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Lambkin, Deborah C.

NUMBER OF ĆLAIMS: 16
EXEMPLARY CLAIM: 1
LINE COUNT: 7482

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Inhibitors for matrix metalloproteases, pharmaceutical compositions containing them, and a process for using them to treat a variety of physiological conditions. The compounds of the invention have the generalized formula ##STR1## wherein each T is a substituted group; x is 0, 1, or 2; the group D represents ##STR2## the group R6 represents a variety of possible substituent groups on the carbon chain between D and G, and the group G represents M, ##STR3## in which M represents --CO.sub.2 H,

--CON(R.sup.11).sub.2, or --CO.sub.2 R.sup.12; and R.sup.13 represents any of the side chains of the 19 noncyclic naturally occurring amino acids.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 16 OF 26 USPATFULL

ACCESSION NUMBER: 1998:162539 USPATFULL

TITLE: Thiophenebutanoic acid derivatives as matrix

metalloprotease inhibitors

INVENTOR(S): Kluender, Harold Clinton Eugene, Trumbull, CT,

United States

Benz, Guenter Hans Herbert Heinz, Velbert,

Germany, Federal Republic of

Bullock, William Harrison, West Haven, CT, United

States

Dixon, Brian Richard, Woodbridge, CT, United

States

VanZandt, Michael Christopher, Guilford, CT,

United States

Wilhelm, Scott McClelland, Orange, CT, United

States

Wolanin, Donald John, Orange, CT, United States Wood, Jill Elizabeth, Hamden, CT, United States Brittelli, David Ross, Branford, CT, United

States

PATENT ASSIGNEE(S): Bayer Corporation, Pittsburgh, PA, United States

(U.S. corporation)

NUMBER KIND DATE _____ US 5854277 19981229 US 1997-865639 19970530 (8) PATENT INFORMATION: APPLICATION INFO.: Continuation of Ser. No. US 1995-463580, filed on RELATED APPLN. INFO.: 5 Jun 1995, now abandoned which is a continuation of Ser. No. US 1994-339846, filed on 15 Nov 1994, now abandoned DOCUMENT TYPE: Utility Granted FILE SEGMENT: Lambkin, Deborah C. PRIMARY EXAMINER: NUMBER OF CLAIMS: EXEMPLARY CLAIM: 7459 LINE COUNT: CAS INDEXING IS AVAILABLE FOR THIS PATENT. Inhibitors for matrix metalloproteases, pharmaceutical compositions containing them, and a process for using them to treat a variety of physiological conditions. The compounds of the invention have the generalized formula ##STR1## wherein each T is a substituent group; x is 0, 1, or 2; the group D represents ##STR2## the group R6 represents a variety of possible substituent groups on the carbon chain between D and G, and the group G represents M, ##STR3## in which M represents --CO.sub.2 H, --CON(R.sup.11).sub.2, or --CO.sub.2 R.sup.12; and R.sup.13 represents any of the side chains of the 19 noncyclic naturally occurring amino acids. CAS INDEXING IS AVAILABLE FOR THIS PATENT. L12 ANSWER 17 OF 26 USPATFULL ACCESSION NUMBER: 1998:135065 USPATFULL Sulfuric acid esters of sugar alcohols TITLE: Chucholowski, Alexander, Grenzach-Wyhlen, INVENTOR(S): Germany, Federal Republic of Fingerle, Jurgen, Rheinfelden, Germany, Federal Republic of Iberg, Niggi, Basel, Switzerland Marki, Hans Peter, Basel, Switzerland Muller, Rita, Basel, Switzerland Pech, Michael, Hartheim, Germany, Federal Republic of Rouge, Marianne, Basel, Switzerland Schmid, Gerard, Kienberg, Switzerland Tschopp, Thomas, Ettingen, Switzerland Wessel, Hans Peter, Heitersheim, Germany, Federal Republic of Hoffmann-La Roche Inc., Nutley, NJ, United States PATENT ASSIGNEE(S): (U.S. corporation) NUMBER KIND DATE _____ ___ US 5830920 US 1996-639986 19981103 PATENT INFORMATION: 19960426 (8) APPLICATION INFO.: NUMBER DATE _____

Searcher: Shears 308-4994

CH 1995-1310 19950505

Utility

PRIORITY INFORMATION:

DOCUMENT TYPE:

FILE SEGMENT:

Granted

PRIMARY EXAMINER:

Peselev, Elli

LEGAL REPRESENTATIVE:

Johnston, George W., Rocha-Tramaloni, Patricia S.

NUMBER OF CLAIMS:

27 27

EXEMPLARY CLAIM: NUMBER OF DRAWINGS:

1 Drawing Figure(s); 1 Drawing Page(s)

LINE COUNT:

3670

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of the formula ##STR1## wherein n.sup.1 -n.sup.9 are each independently 0 or 1;

m.sup.1 -m.sup.9 are each independently 0 or 1, but with the proviso that at least one of m.sup.1, m.sup.2 and m.sup.3, at least one of m.sup.4, m.sup.5 and m.sup.6 and, when present, at least one of m.sup.7, m.sup.8 and m.sup.9 is 1; and wherein

X.sup.1 -X.sup.18 each independently is --O--,
--CONR.sup.1,--NR.sup.1 CO-- or --NR.sup.1 --;

R.sup.1 is hydrogen or lower alkyl;

W is a benzene or s-triazine;

Y.sup.1 -Y.sup.9 each independently is an aromatic ring systems;

A.sup.1 -A.sup.3 each independently is a residue of a sugar alcohol devoid of the 1-hydroxy group or a derivative thereof, a residue of a sugar acid devoid of the 1-carboxy group or a derivative thereof or tris-(hydroxymethyl)-methyl;

D is the di-residue of a sugar alcohol devoid of 2 hydroxy groups or a derivative thereof or the di-residue of a sugar dicarboxylic acid devoid of 2 carboxy group or a derivative thereof;

Q.sup.1 -Q.sup.3 and Z.sup.1 -Z3 each independently are the di-residue of a sugar alcohol devoid of 2 hydroxy groups or a derivative thereof or the di-residue of a sugar dicarboxylic acid devoid of 2 carboxy groups or a derivative thereof or didesoxyglycopyranoside or a derivative thereof, wherein at least one hydroxy group of residues A.sup.1 -A.sup.3, D, Q.sup.1 -Q.sup.3 and Z.sup.1 -Z.sup.3 is esterified with sulfuric acid, and pharmaceutically usable salts thereof are useful for the treatment of disorders which are characterized by excessive or destructive proliferation of smooth muscle cells.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 18 OF 26 USPATFULL

ACCESSION NUMBER:

1998:108412 USPATFULL

TITLE:

Inhibition of matrix metalloproteases by

substituted phenalkyl compounds

INVENTOR(S):

Wolanin, Donald J., Orange, CT, United States Bayer Corporation, Pittsburgh, PA, United States

(U.S. corporation)

NUMBER

KIND DATE

PATENT INFORMATION:

PATENT ASSIGNEE(S):

US 5804581

19980908

Searcher :

Shears

308-4994

APPLICATION INFO.:

US 1997-856696

19970515 (8)

DOCUMENT TYPE: FILE SEGMENT:

LINE COUNT:

Utility Granted

PRIMARY EXAMINER:

Ramsuer, Robert W.

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

, 1 1347

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AΒ

Matrix metalloprotease inhibiting compounds, pharmaceutical compositions thereof and a method of disease treatment using such compounds are presented. The compounds of the invention have the generalized formula: ##STR1## wherein T is a substituent and $ilde{ ext{R}}. ext{sup.24}$ is a substituted amide moiety. These compounds are useful for inhibiting matrix metalloproteases and, therefore, combating conditions to which MMP's contribute, such as osteoarthritis, rheumatoid arthritis, septic arthritis, periodontal disease, corneal ulceration, proteinuria, aneurysmal aortic disease, dystrophobic epidermolysis, bullosa, conditions leading to inflammatory responses, osteopenias mediated by MMP activity, tempera mandibular joint disease, demyelating diseases of the nervous system, tumor metastasis or degenerative cartilage loss following traumatic joint injury, and coronary thrombosis from athrosclerotic plaque rupture. The present invention also provides pharmaceutical compositions and methods for treating such conditions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 19 OF 26 USPATFULL

ACCESSION NUMBER:

1998:92055 USPATFULL

TITLE:

Derivatives of substituted 4-biarylbutyric acid

as matrix metalloprotease inhibitors

INVENTOR(S):

Kluender, Harold Clinton Eugene, Trumbull, CT,

United States

Benz, Guenter Hans Heinz Herbert, Velbert,

Germany, Federal Republic of

Brittelli, David Ross, Branford, CT, United

States

Bullock, William Harrison, Hamden, CT, United

States

Combs, Kerry Jeanne, Wallingford, CT, United

States

Dixon, Brian Richard, Woodbridge, CT, United

States

Schneider, Stephan, Wuppertal, Germany, Federal

Republic of

Wood, Jill Elizabeth, Hamden, CT, United States VanZandt, Michael Christopher, New Haven, CT,

United States

Wolanin, Donald John, Orange, CT, United States Wilhelm, Scott M., Orange, CT, United States Bayer Corporation, Pittsburgh, PA, United States

PATENT ASSIGNEE(S): Bayer Corporation, (U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION: APPLICATION INFO.:

US 5789434 19980804 US 1995-539409 19951106 (8)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1994-339846,

filed on 15 Nov 1994

DOCUMENT TYPE: FILE SEGMENT: Utility Granted

PRIMARY EXAMINER: ASSISTANT EXAMINER:

Dees, Jose G. Cebulak, Mary C.

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 10 1

NUMBER OF DRAWINGS:

3 Drawing Figure(s); 3 Drawing Page(s)

LINE COUNT:

6746

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Inhibitors for matrix metalloproteases, pharmaceutical compositions containing them, and a process for using them to treat a variety of physiological conditions. The presently claimed compounds have the generalized formula ##STR1## in which each T represents a substituent group; x is 0, 1, or 2; D represents ##STR2## .delta. is 0 or 1; U' represents 0, S, or N, with the proviso that when U' is N, then .delta.=0, and when U' is O or S, then .delta.=1; R.sup.14 is any of a variety of substituent groups; and G represents M, ##STR3## in which M represents --CO.sub.2 H, --CON(R.sup.11).sub.2, or --CO.sub.2 R.sup.12, R.sup.11 represents H or an alkyl group, R.sup.12 represents an alkyl group, and R.sup.13 represents any of the side chains of the 19 noncyclic naturally occurring amino acids; and pharmaceutically

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

acceptable salts thereof.

L12 ANSWER 20 OF 26 USPATFULL

ACCESSION NUMBER:

1998:25359 USPATFULL

TITLE:

 $4,1\mbox{-benzoxazepin}$ derivatives and their use

INVENTOR(S):

Yukimasa, Hidefumi, Nara, Japan Tozawa, Ryuichi, Osaka, Japan Kori, Masakuni, Hyogo, Japan Kitano, Kazuaki, Osaka, Japan Sugiyama, Yasuo, Hyogo, Japan

PATENT ASSIGNEE(S):

Takeda Chemical Industries, Ltd., Osaka, Japan

(non-U.S. corporation)

RELATED APPLN. INFO.:

Continuation-in-part of Ser. No. US 1994-195131, filed on 9 Feb 1994, now abandoned which is a continuation of Ser. No. US 1993-49455, filed on

20 Apr 1993, now abandoned

NUMBER DATE _____ -----JP 1992-99541 PRIORITY INFORMATION: 19920420 JP 1992-339947 19921221 JP 1994-244136 19941007 DOCUMENT TYPE: Utility Granted FILE SEGMENT: PRIMARY EXAMINER: Ford, John M. LEGAL REPRESENTATIVE: Foley & Lardner

LEGAL REPRESENTATIVE: NUMBER OF CLAIMS:

EXEMPLARY CLAIM: 1 LINE COUNT: 8799

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB N-containing, condensed heterocyclic compounds and salts thereof are disclosed which are useful for inhibiting squalene synthetase and fungal growth, and which are useful for treating or preventing hyperlipidemia. Also disclosed is a method for producing these

compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 21 OF 26 USPATFULL

ACCESSION NUMBER: 1998:22218 USPATFULL TITLE: Hypolipidaemic compounds

INVENTOR(S): Brieaddy, Lawrence Edward, Raleigh, NC, United

States

Hodgson, Jr., Gordon Lewis, Durham, NC, United

States

PATENT ASSIGNEE(S): Glaxo Wellcome Inc., RTP, NC, United States (U.S.

corporation)

NUMBER DATE KIND ______ US 5723458 19980303 PATENT INFORMATION: WO 9418184 19940818 US 1995-501132 APPLICATION INFO.: 19950815 (8) WO 1994-GB314 19940215 19950815 PCT 371 date 19950815 PCT 102(e) date

NUMBER DATE
-----GB 1993-3013 19930215
GB 1993-15155 19930722

GB 1993-15155

DOCUMENT TYPE: Utility

FILE SEGMENT: Granted

PRIMARY EXAMINER: Berch, Mark L.

ASSISTANT EXAMINER: Kifle, Bruck
LEGAL REPRESENTATIVE: Hrubiec, Robert T.

NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
LINE COUNT: 2293

PRIORITY INFORMATION:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides novel 1,4-benzothiazepine compounds substituted with hydroxy or a group containing hydroxy, compositions comprising such compounds and their use in the treatment or prophylaxis of treating clinical conditions in which inhibition of bile acid uptake is indicated, for example,

hyperlipidemia and atherosclerosis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 22 OF 26 USPATFULL

ACCESSION NUMBER: 97:1490 USPATFULL

TITLE: Cyclic imino derivatives and pharmaceutical

compositions containing them

INVENTOR(S): Himmelsbach, Frank, Mittelbiberach, Germany,

Federal Republic of

Austel, Volkhard, Biberach, Germany, Federal

Republic of

Pieper, Helmut, Biberach, Germany, Federal

Republic of

Eisert, Wolfgang, Biberach, Germany, Federal

Republic of

Mueller, Thomas, Biberach, Germany, Federal

Republic of

Weisenberger, Johannes, Biberach, Germany,

Federal Republic of

Linz, Guenter, Mittelbiberach, Germany, Federal

Republic of

Krueger, Gerd, Biberach, Germany, Federal

Republic of

Karl Thomae GmbH, Biberach an der Riss, Germany, PATENT ASSIGNEE(S):

Federal Republic of (non-U.S. corporation)

NUMBER KIND DATE _____ -----

PATENT INFORMATION: APPLICATION INFO.:

US 5591769 19970107 US 1995-458096 19950601 (8)

RELATED APPLN. INFO.:

Division of Ser. No. US 1994-365336, filed on 28 Dec 1994, now patented, Pat. No. US 5541343 which

is a continuation of Ser. No. US 1991-783065,

filed on 25 Oct 1991, now abandoned

NUMBER DATE _____ DE 1990-4035961 19901102

PRIORITY INFORMATION:

DOCUMENT TYPE: Utility

Granted FILE SEGMENT:

Springer, David B. PRIMARY EXAMINER:

Raymond, R. P., Stempel, A. R., Rieder, W. E. LEGAL REPRESENTATIVE:

NUMBER OF CLAIMS: EXEMPLARY CLAIM: LINE COUNT: 9173

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention relates to cyclic imino compounds which have, inter alia, valuable pharmacological properties, especially inhibitory effects on cell aggregation, pharmaceutical compositions which contain these compounds and processes for preparing them.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 23 OF 26 USPATFULL

ACCESSION NUMBER: 96:106614 USPATFULL

Cyclic imino derivatives, processes for preparing TITLE: them and pharmaceutical compositions containing

these compounds

Himmelsbach, Frank, Mittelbiberch, Germany, INVENTOR(S):

Federal Republic of

Volkhard, Austel, Biberach, Germany, Federal

Republic of

Pieper, Helmut, Biberach, Germany, Federal

Republic of

Linz, Guenter, Mittelbiberach, Germany, Federal

Republic of

Weisenberger, Johannes, Biberach, Germany,

Federal Republic of

Mueller, Thomas, Biberach, Germany, Federal

Republic of

Dr. Karl Thomae GmbH, Biberach an der Riss, PATENT ASSIGNEE(S):

Germany, Federal Republic of (non-U.S.

corporation)

KIND DATE NUMBER ______ US 5576444 19961119 19930426 (8)

PATENT INFORMATION: US 1993-53037 APPLICATION INFO.:

NUMBER DATE ______ DE 1992-4213919 19920428 PRIORITY INFORMATION:

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

Raymond, Richard L. PRIMARY EXAMINER: Bembenick, Brian G. ASSISTANT EXAMINER:

Raymond, Robert P., Stempel, Alan R., Rieder, LEGAL REPRESENTATIVE:

Wendy E.

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1708 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT. Cyclic imino derivatives of the formula

> B--X.sub.2 --X.sub.1 --A--Y--E (I)

wherein A, B, E, X.sub.1, X.sub.2 and Y are as defined herein, the stereoisomers, tautomers, mixtures and addition salts thereof, pharmaceutical compositions containing these compounds and processes for preparing them. The cyclic imino derivatives are useful as inhibitors of cell-cell and cell-matrix interactions, e.g., thrombocyte aggregation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 24 OF 26 USPATFULL

96:68160 USPATFULL ACCESSION NUMBER:

Cyclic imino derivatives and pharmaceutical TITLE:

compositions containing them

Himmelsbach, Frank, Mittelbiberach, Germany, INVENTOR(S):

Federal Republic of

Austel, Volkhard, Biberach, Germany, Federal

Republic of

Pieper, Helmut, Biberach, Germany, Federal

Republic of

Eisert, Wolfgang. Biberach, Germany, Federal

Republic of

Mueller, Thomas, Biberach, Germany, Federal

Republic of

Weisenberger, Johannes, Biberach, Germany,

Federal Republic of

Linz, Guenter, Mittelbiberach, Germany, Federal

Republic of

Krueger, Gerd, Biberach, Germany, Federal

Republic of

308-4994 Searcher : Shears

Karl Thomae GmbH, Biberach an der Riss, Germany, PATENT ASSIGNEE(S):

Federal Republic of (non-U.S. corporation)

NUMBER KIND DATE ______ PATENT INFORMATION:

US 5541343 19960730 US 1994-365336 19941228 (8) APPLICATION INFO.:

Continuation of Ser. No. US 1991-783065, filed on RELATED APPLN. INFO.:

25 Oct 1991, now abandoned

NUMBER DATE _____

DE 1990-4035961 19901102 PRIORITY INFORMATION:

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

Springer, David B. PRIMARY EXAMINER:

Raymond, Robert P., Stempel, Alan R., Devlin, LEGAL REPRESENTATIVE:

Mary-Ellen M.

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 8886 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention relates to cyclic imino compounds which have, inter alia, valuable pharmacological properties, especially inhibitory effects on cell aggregation, pharmaceutical compositions which

contain these compounds and processes for preparing them.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 25 OF 26 USPATFULL

ACCESSION NUMBER: 95:52365 USPATFULL

Heteroaryl coumarins as inhibitors of leukotriene TITLE:

biosynthesis

Fortin, Rejean, Montreal, Canada INVENTOR(S):

Girard, Yves, Ile Bizard, Canada Grimm, Erich, Baie D'Urfe, Canada

Hutchinson, John, Philadelphia, PA, United States

Scheigetz, John, Dollard des Ormeaux, Canada

Merck Frosst Canada, Inc., Kirkland, Canada PATENT ASSIGNEE(S):

(non-U.S. corporation)

NUMBER KIND DATE US 5424320 19950613 US 1993-81528 19930623 (8) PATENT INFORMATION: APPLICATION INFO.: DOCUMENT TYPE: Útility

FILE SEGMENT: Granted

PRIMARY EXAMINER: Ivy, C. Warren Owens, A. A. ASSISTANT EXAMINER:

LEGAL REPRESENTATIVE: Rose, David, Yang, Mollie

11 NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 2042 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds having the formula I: ##STR1## are inhibitors of leukotriene biosynthesis. These compounds are useful as anti-asthmatic, anti-allergic, anti-inflammatory, and

cytoprotective agents. They are also useful in treating angina,

cerebral spasm, glomerular nephritis, hepatitis, endotoxemia, uveitis, and allograft rejection and in preventing the formation of atherosclerotic plaques.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 26 OF 26 USPATFULL

ACCESSION NUMBER: 94:95429 USPATFULL

TITLE: Heteroaryl cinnamic acids as inhibitors of

leukotriene biosynthesis

INVENTOR(S): Fortin, Rejean, Montreal, Canada

Girard, Yves, Ile Bizard, Canada Grimm, Erich, Baie d'Urfe, Canada

Hutchinson, John, Philadelphia, PA, United States

Scheigetz, John, Dollard des Ormeaux, Canada

PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Kirkland, Canada

(non-U.S. corporation)

PATENT INFORMATION: US 5360815 19941101 APPLICATION INFO.: US 1993-81506 19930623 (8)

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Raymond, Richard L. ASSISTANT EXAMINER: Cebulak, Mary C.

LEGAL REPRESENTATIVE: Yang, Mollie M., Rose, David L.

NUMBER OF CLAIMS: 10 EXEMPLARY CLAIM: 1 LINE COUNT: 2163

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds having the formula I: ##STR1## are inhibitors of leukotriene biosynthesis. These compounds are useful as anti-asthmatic, anti-allergic, anti-inflammatory, and cytoprotective agents. They are also useful in treating angina, cerebral spasm, glomerular nephritis, hepatitis, endotoxemia, uveitis, and allograft rejection and in preventing the formation of atherosclerotic plaques.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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